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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	DEC 18	CA/CAPLUS pre-1967 chemical substance index entries enhanced with preparation role
NEWS	4	DEC 18	CA/CAPLUS patent kind codes updated
NEWS	5	DEC 18	MARPAT to CA/CAPLUS accession number crossover limit increased to 50,000
NEWS	6	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS	7	DEC 27	CA/CAPLUS enhanced with more pre-1907 records
NEWS	8	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS	9	JAN 16	CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS	10	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS	11	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS	12	JAN 22	CA/CAPLUS updated with revised CAS roles
NEWS	13	JAN 22	CA/CAPLUS enhanced with patent applications from India
NEWS	14	JAN 29	PHAR reloaded with new search and display fields
NEWS	15	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS	16	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS	17	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS	18	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS	19	FEB 26	MEDLINE reloaded with enhancements
NEWS	20	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS	21	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS	22	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS	23	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS	24	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS	25	MAR 16	CASREACT coverage extended
NEWS	26	MAR 20	MARPAT now updated daily
NEWS	27	MAR 22	LWPI reloaded
NEWS	28	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS	29	MAR 30	INPADOCDB will replace INPADOC on STN
NEWS	30	APR 02	JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that

10/ 502,538

specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:05:42 ON 05 APR 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:05:58 ON 05 APR 2007

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 APR 2007 HIGHEST RN 929190-51-2

DICTIONARY FILE UPDATES: 4 APR 2007 HIGHEST RN 929190-51-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

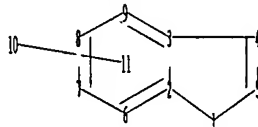
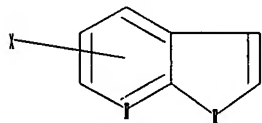
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10502538a.str



chain nodes :

10

ring nodes :

1 2 3 4 5 6 7 8 9

ring bonds :

1-2 1-5 2-3 2-6 3-4 3-9 4-5 6-7 7-8 8-9

exact/norm bonds :

1-2 1-5 3-4 4-5

normalized bonds :

2-3 2-6 3-9 6-7 7-8 8-9

isolated ring systems :

10/ 502,538

containing 1 :

Hydrogen count :

4:= exact 1 5:= exact 1

Match level :

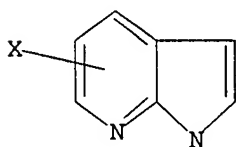
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11:Atom

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 14:06:35 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 135959 TO ITERATE

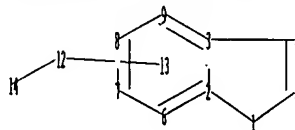
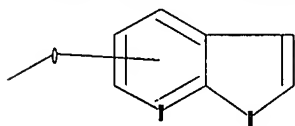
100.0% PROCESSED 135959 ITERATIONS  
SEARCH TIME: 00.00.01

328 ANSWERS

L2 328 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10502538b.str



chain nodes :

12 14

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

12-14

ring bonds :

1-2 1-5 2-3 2-6 3-4 3-9 4-5 6-7 7-8 8-9

exact/norm bonds :

1-2 1-5 3-4 4-5 12-14

normalized bonds :

2-3 2-6 3-9 6-7 7-8 8-9

isolated ring systems :

containing 1 :

10/ 502,538

Hydrogen count :

4:= exact 1 5:= exact 1

Match level :

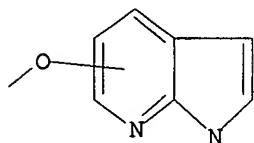
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13:CLASS 14:CLASS

L3 STRUCTURE UPLOADED

=> d l3

L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l3 ful

FULL SEARCH INITIATED 14:07:11 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 135959 TO ITERATE

100.0% PROCESSED 135959 ITERATIONS

59 ANSWERS

SEARCH TIME: 00.00.01

L4 59 SEA SSS FUL L3

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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344.41

FILE 'HCAPLUS' ENTERED AT 14:07:22 ON 05 APR 2007

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FILE COVERS 1907 - 5 Apr 2007 VOL 146 ISS 15

FILE LAST UPDATED: 4 Apr 2007 (20070404/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate

10/ 502,538

substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:05:42 ON 05 APR 2007)

FILE 'REGISTRY' ENTERED AT 14:05:58 ON 05 APR 2007

L1 STRUCTURE UPLOADED  
L2 328 S L1 FUL  
L3 STRUCTURE UPLOADED  
L4 59 S L3 FUL

FILE 'HCAPLUS' ENTERED AT 14:07:22 ON 05 APR 2007

=> s 12/p

L5 94 L2/P

=> s 15 and (oxid?)/AB,BI

1908185 (OXID?)/AB

3027516 (OXID?)/BI

L6 24 L5 AND (OXID?)/AB,BI

=> d 16 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 24 ANSWERS - CONTINUE? Y/(N):y

L6 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1280998 HCAPLUS

DOCUMENT NUMBER: 146:45393

TITLE: Preparation of 2-pyrrolidinone derivatives and their use as anticonvulsants

INVENTOR(S): Kenda, Benoit; Quesnel, Yannick; Ates, Ali; Michel, Philippe; Turet, Laurent; Mercier, Joeel

PATENT ASSIGNEE(S): Ucb S.A., Belg.

SOURCE: PCT Int. Appl., 270pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

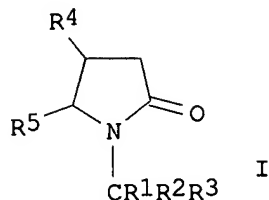
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006128692	A3	20070315		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: EP 2005-11779 A 20050601  
EP 2005-11780 A 20050601

OTHER SOURCE(S): MARPAT 146:45393

GI



AB The present invention concerns 2-pyrrolidinone derivs. (shown as I; variables defined below; e.g. 1-[(5-nitro-1H-indol-3-yl)methyl]-4-propylpyrrolidin-2-one (1)), processes for preparing them, pharmaceutical compns. containing them and their use as anticonvulsants. For I: R1 is H, C1-12 alkyl, aryl or heterocyclyl; R2 is H; or R1 and R2 are linked together to form a C3-6 cycloalkyl; R3 is a (un)substituted heterocycle linked to the rest of the mol. via one of its C or N atoms; R4 is H, C1-12 alkyl ((un)substituted by halogen, C1-4 alkoxy, C1-4 alkylthio, azido, nitrooxy or aryl), C2-12 alkenyl, C2-12 alkynyl, aryl (non-substituted by a cycloalkoxy), azido, alkoxycarbonylamino, arylsulfonyloxy or heterocyclyl; R5 is H; alternatively R4 may form together with R5 and the 2-oxo-1-pyrrolidine ring a 1,3-dihydro-2H-indol-2-one ring; addnl. details and other Markush structures are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >300 examples of I are included. For example, 1 was prepared by hydroxymethylation of 4-propylpyrrolidin-2-one to give 1-(hydroxymethyl)-4-propylpyrrolidin-2-one (100 %), which was used to N-alkylate 5-nitro-1H-indole (44 %).

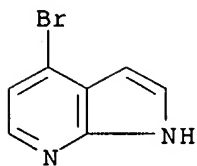
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640735-24-6P, 4-Bromo-1-(triisopropylsilyl)-1H-pyrrolo[2,3-b]pyridine 858116-66-2P, 5-Bromo-1-(triisopropylsilyl)-1H-pyrrolo[2,3-b]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-pyrrolidinone derivs. and their use as anticonvulsants)

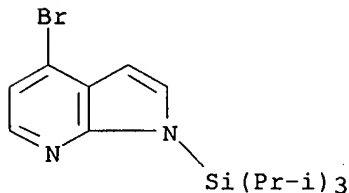
RN 348640-06-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)

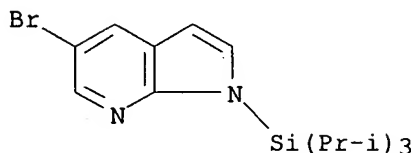


RN 640735-24-6 HCAPLUS

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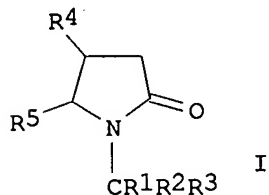


RN 858116-66-2 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-[tris(1-methylethyl)silyl]- (CA  
 INDEX NAME)



L6 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:1279332 HCAPLUS  
 DOCUMENT NUMBER: 146:27722  
 TITLE: Preparation of 2-pyrrolidinone derivatives and their  
 use as anticonvulsants  
 INVENTOR(S): Kenda, Benoit; Quesnel, Yannick; Ates, Ali; Michel,  
 Philippe; Turet, Laurent; Mercier, Joeel  
 PATENT ASSIGNEE(S): Ucb S.A., Belg.  
 SOURCE: PCT Int. Appl., 258pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

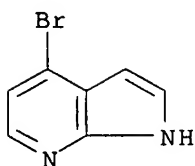
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PRIORITY APPLN. INFO.:			EP 2005-11779	A 20050601
			EP 2005-11780	A 20050601
OTHER SOURCE(S):			MARPAT 146:27722	
GI				



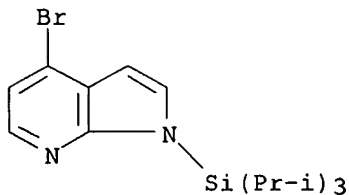
AB The present invention concerns 2-pyrrolidinone derivs. (shown as I;

variables defined below; e.g. 1-[(5-nitro-1H-indol-3-yl)methyl]-4-propylpyrrolidin-2-one (1)), processes for preparing them, pharmaceutical compns. containing them and their use as anticonvulsants. For I: R1 is H; R2 is H; R3 is a heterocycle linked to the rest of the mol. via one of its C or N atoms; R4 is C1-12 alkyl ((un)substituted by halogen or C1-4 alkoxy), C2-12 alkenyl, C2-12 alkynyl; R5 is H; alternatively R4 may form together with R5 and the 2-oxo-1-pyrrolidine ring a 1,3-dihydro-2H-indol-2-one ring; addnl. details and other Markush structures are given in the claims. Although the methods of preparation are not claimed, preps. and/or characterization data for >300 examples of I are included. For example, 1 was prepared by hydroxymethylation of 4-propylpyrrolidin-2-one to give 1-(hydroxymethyl)-4-propylpyrrolidin-2-one (100 %), which was used to N-alkylate 5-nitro-1H-indole (44 %).

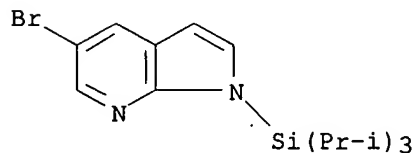
IT 348640-06-2P, 4-Bromo-1H-pyrrolo[2,3-b]pyridine  
 640735-24-6P, 4-Bromo-1-(triisopropylsilyl)-1H-pyrrolo[2,3-b]pyridine 858116-66-2P, 5-Bromo-1-(triisopropylsilyl)-1H-pyrrolo[2,3-b]pyridine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 2-pyrrolidinone derivs. and their use as anticonvulsants)  
 RN 348640-06-2 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)



RN 640735-24-6 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-1-[tris(1-methylethyl)silyl]- (CA INDEX NAME)



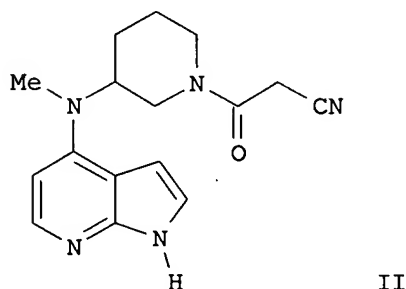
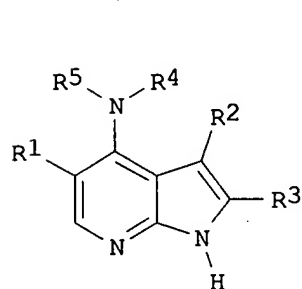
RN 858116-66-2 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-[tris(1-methylethyl)silyl]- (CA INDEX NAME)





DOCUMENT NUMBER: 145:83304  
 TITLE: Pyrrolo[2,3-b]pyridin-4-yl-amines and pyrrolo[2,3-b]pyrimidin-4-yl-amines as janus kinase inhibitors and their preparation, pharmaceutical compositions and use for treatment of diseases  
 INVENTOR(S): Rodgers, James D.; Wang, Heisheng; Combs, Andrew P.; Sparks, Richard B.  
 PATENT ASSIGNEE(S): Incyte Corporation, USA  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069080	A2	20060629	WO 2005-US46207	20051221
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US 2006183906	A1	20060817	US 2005-313394	20051221
PRIORITY APPLN. INFO.:			US 2004-638474P	P 20041222
			US 2005-726289P	P 20051013
OTHER SOURCE(S):		MARPAT 145:83304		
GI				



AB The present invention provides pyrrolo[2,3-b]pyrimidinylamines and pyrrolo[2,3-b]pyridine-4-ylamines of formula I, that modulate the activity of Janus kinases and are useful in the treatment of diseases related to activity of Janus kinases including, for example, immune-related diseases and cancer. Compds. of formula I wherein R1-R3 are independently H, halo, C1-4 (halo)alkyl, C2-4 alkenyl, C2-4 alkynyl, (hetero)aryl, (hetero)cycloalkyl, CN, NO<sub>2</sub>, OH and derivs., SH and derivs., CHO and derivs., CONH<sub>2</sub> and derivs., CO<sub>2</sub>H and derivs., etc.; R4 is H, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, SO<sub>2</sub>R<sub>9</sub>, SO<sub>2</sub>R<sub>9</sub>, (un)substituted (hetero)cycloalkyl, etc.; R8 (un)substituted 3-8 membered (hetero)cycloalkyl, (un)substituted L-(3-8 membered (hetero)cycloalkyl); L

is C1-4 alkylenyl, C1-4 alkenyl, O, S, NH and derivs., CO, CO<sub>2</sub>, OCO, etc.; R<sub>9</sub> is (un)substituted C1-4 alkyl, (un)substituted (hetero)aryl, (un)substituted (hetero)cycloalkyl; and their pharmaceutically acceptable salts and prodrugs thereof are claimed. Example compound II was prepared via oxygenation of 1H-pyrrolo[2,3-b]pyridine; the resulting 1H-pyrrolo[2,3-b]pyridine 7-oxide underwent chlorination and deoxygenation with methanesulfonyl chloride to give 4-chloro-1H-pyrrolo[2,3-b]pyridine, which underwent amination with 1-benzyl-N-methyl-piperidine-3-amine to give the corresponding amine, which underwent debenzylation to give N-methyl-N-piperidin-3-yl-N-(1H-pyrrolo[2,3-b]pyridin-4-yl)amine trihydrochloride, which underwent amidation with cyanoacetic acid to give compound II. All the invention compds. were evaluated for their Janus kinase inhibitory activity. The tested compds. that exhibited an IC<sub>50</sub> of about 10  $\mu$ M or less are considered to be active compds.

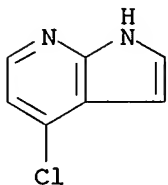
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869335-19-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrrolo-pyridinyl amines and pyrrolo-pyrimidinyl amines as Janus kinases inhibitors useful in treatment of diseases)

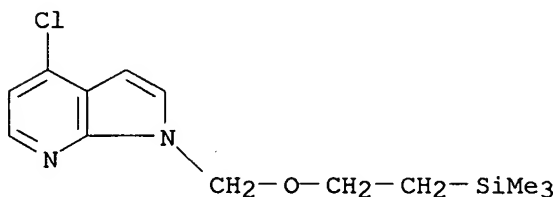
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



RN 869335-19-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-1-[[2-(trimethylsilyl)ethoxy]methyl]-(9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:411957 HCAPLUS

DOCUMENT NUMBER: 144:450728

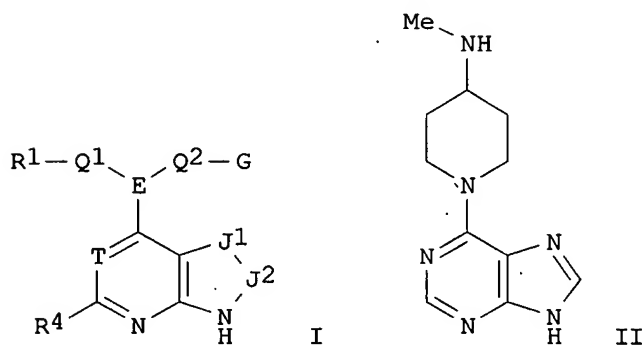
TITLE: Ortho-condensed pyridine and pyrimidine derivatives (e. g. purines) as protein kinases inhibitors and their preparation, pharmaceutical compositions and use for treatment of protein kinase mediated diseases such as proliferative diseases

INVENTOR(S): Berdini, Valerio; Boyle, Robert George; Saxty, Gordon; Walker, David Winter; Woodhead, Steven John; Wyatt, Paul Graham; Caldwell, John; Collins, Ian; Da Fonseca,

PATENT ASSIGNEE(S): Tatiana Faria  
 Astex Therapeutics Ltd., UK; The Institute of Cancer  
 ResearchRoyal Cancer Hospital; Cancer Research  
 Technology Limited  
 SOURCE: PCT Int. Appl., 223 pp., which  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046024	A1	20060504	WO 2005-GB4119	20051025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			GB 2004-23655	A 20041025
			US 2004-621821P	P 20041025
			US 2005-684119P	P 20050524

OTHER SOURCE(S): MARPAT 144:450728  
 GI



AB The invention provides a compound for use as a protein kinase B inhibitor, the compound being a compound of the formula I or salts, solvates, tautomers or N-oxides thereof. Compds. of formula I where in T is N or CR<sup>5</sup>; J<sup>1</sup>-J<sup>2</sup> is N=CR<sup>6</sup>, R<sup>7</sup>C=N, R<sup>8</sup>NCO, (R<sup>8</sup>)<sub>2</sub>CO, N=N, or R<sup>7</sup>C=CR<sup>6</sup>; E is 5- to 6-membered carbocyclic or heterocyclic group; Q<sup>1</sup> is a bond, C1-3 saturated hydrocarbon where one of the carbon atoms may be optionally replaced by O or N, or an adjacent pair of carbons be replaced by CONH and derivs., or NHCO and derivs.; Q<sup>2</sup> is a bond, (un)substituted saturated C1-3 hydrocarbon, where one of the carbon atoms may be optionally replaced by N or O; G is H, NH<sub>2</sub> and derivs., OH, or SH, with the provision that E is (hetero)aryl and Q<sup>2</sup> is a bond, then G is H; R<sup>1</sup> is H, or (hetero)aryl; R<sup>4</sup>, R<sup>6</sup>, and R<sup>8</sup> are

independently H, halo, C1-5 saturated hydrocarbyl, CN, CONH2, CONHR9, CF3, NH2, NHCOR9, or NHCONHR9; R5 and R7 are independently H, halo, C1-5 saturated heterocarbyl, CN, or CF3; R9 is (un)substituted Ph, or (un)substituted Bn; or their pharmaceutically acceptable salts, solvates, tautomers, or N-oxides thereof. Example compound II was prepared by amination of 9-(tetrahydropyran-2-yl)-6-chloropurine with 4-(N-Boc)piperidine; the resulting [1-[9-(tetrahydropyran-2-yl)-9H-purin-6-yl]piperidin-4-yl]carbamic acid tert-Bu ester underwent methylation with Me iodide to give methyl-[1-[9-(tetrahydropyran-2-yl)-9H-purin-6-yl]piperidin-4-yl]carbamic acid tert-Bu ester, which underwent hydrolysis to give example compound II. All the invention compds. were tested for their protein kinase inhibitory activity. From the assay it was determined that compound II and

some

of the other example compds. exhibited IC50 values of less than 10  $\mu$ M against both protein kinase A and B. The invention compds. were also evaluated for their antiproliferative activity. Many of the invention compds. were found to have IC50 values of less than 25  $\mu$ M and the preferred compds. have IC50 values of less than 15  $\mu$ M.

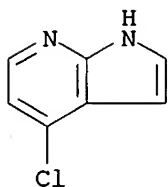
IT 55052-28-3P 885500-55-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of ortho-condensed pyridine and pyrimidine derivs. (e. g. purines) as protein kinases inhibitors useful for treatment of protein kinase mediated diseases such as proliferative diseases)

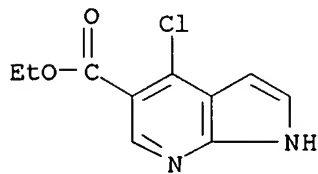
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



RN 885500-55-0 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 4-chloro-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

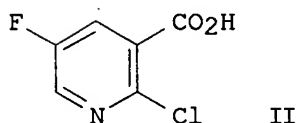
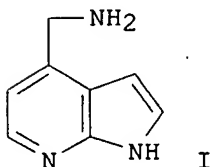
ACCESSION NUMBER: 2006:311852 HCAPLUS

DOCUMENT NUMBER: 145:7958

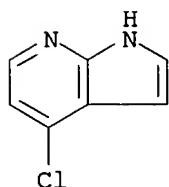
TITLE: A Practical Synthesis of 2-((1H-Pyrrolo[2,3-b]pyridine-4-yl)methylamino)-5-fluoronicotinic Acid

AUTHOR(S): Wang, Xin; Zhi, Ben; Baum, Jean; Chen, Ying; Crockett, Richard; Huang, Liang; Eisenberg, Shawn; Ng, John;

CORPORATE SOURCE: Larsen, Robert; Martinelli, Mike; Reider, Paul  
 Chemical Process R & D, Amgen Inc., Thousand Oaks, CA,  
 91320-1799, USA  
 SOURCE: Journal of Organic Chemistry (2006), 71(10), 4021-4023  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 145:7958  
 GI



AB A practical synthesis of a key pharmaceutical intermediate,  
 2-[(1H-pyrrolo[2,3-b]pyridine-4-yl)methylamino]-5-fluoronicotinic acid is  
 described. To introduce the aminomethyl moiety of I via a  
 palladium-catalyzed cyanation/reduction sequence, a regioselective  
 chlorination of 7-azaindole via the N-oxide was developed. A  
 highly selective monodechlorination of 2,6-dichloro-5-fluoronicotinic acid  
 was discovered to afford the nicotinic acid II. The two building blocks I  
 and II were then coupled to complete the preparation of the title compound  
 IT 55052-28-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (synthesis of 2-[(1H-pyrrolo[2,3-b]pyridine-4-yl)methylamino]-5-  
 fluoronicotinic acid via monodechlorination as a key step)  
 RN 55052-28-3 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

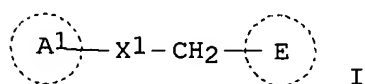
L6 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:152549 HCAPLUS  
 DOCUMENT NUMBER: 144:232928  
 TITLE: Preparation of heterocyclic compounds as novel  
 antimalaria agents  
 INVENTOR(S): Nakamoto, Kazutaka; Matsukura, Masayuki; Tanaka,  
 Keigo; Inoue, Satoshi; Tsukada, Itaru; Haneda, Toru;  
 Ueda, Norihiro; Abe, Shinya; Sagane, Koji  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 326 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006016548	A1	20060216	WO 2005-JP14505	20050808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
WO 2005033079	A1	20050414	WO 2004-JP14063	20040927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2004-232617 A 20040809  
 WO 2004-JP14063 A 20040927  
 JP 2005-82760 A 20050322  
 JP 2003-342273 A 20030930  
 JP 2004-68186 A 20040310

OTHER SOURCE(S): MARPAT 144:232928  
 GI



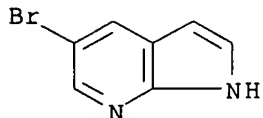
- AB Antimalaria agents containing compds. represented by the formula (I) (wherein A1 = each optionally substituted 3-pyridyl or 6-quinolyl; X1 = -C(:Y1)-NH-; Y1 = O; E = each optionally substituted furyl, thienyl, or phenyl; provided that A1 may have one to three substituents and E has one or two substituents), salts of the compds., or hydrates of either are disclosed. Thus, a solution of 2-aminonicotinic acid and [[5-(3-chlorobenzyl)furan-2-yl]methyl]amine in DMF was treated with benzotriazol-1-yl-tris(dimethylamino)phosphonium hexafluorophosphate and Et3N and stirred at 80° for 40 min to give 2-amino-N-[5-(3-chlorobenzyl)furan-2-ylmethyl]nicotinamide (II). II showed min. inhibitory concentration of 6.25 µg/mL against yeast expressing plasmodium GWT1 gene (opfGWT1).
- IT 183208-35-7P, 5-Bromo-1H-pyrrolo[2,3-b]pyridine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of heterocyclic compds. such as nicotinamide  
quinolinecarboxamide derivs. as antimalaria agents)

RN 183208-35-7 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1215922 HCAPLUS

DOCUMENT NUMBER: 143:460179

TITLE: Preparation of substituted phenylaminopyrimidines for  
use as cardiovascular agents

INVENTOR(S): Schirok, Hartmut; Stasch, Johannes-Peter; Kast,  
Raimund; Muentner, Klaus; Gnoth, Mark Jean; Figueroa  
Perez, Santiago; Thutewohl, Michael; Bennabi, Samir;  
Lang, Dieter; Mittendorf, Joachim; Radtke, Martin;  
Ehmke, Heimo

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

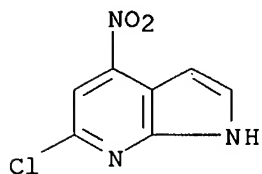
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005108397	A1	20051117	WO 2005-EP3925	20050414
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 102004020570	A1	20051124	DE 2004-102004020570	20040427
CA 2564629	A1	20051117	CA 2005-2564629	20050414
EP 1742945	A1	20070117	EP 2005-739438	20050414
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			DE 2004-102004020570A	20040427
			WO 2005-EP3925	W 20050414

OTHER SOURCE(S): MARPAT 143:460179

GI

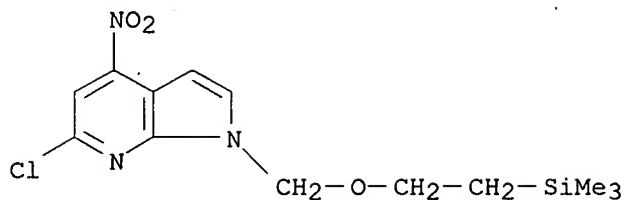
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB The invention relates to substituted phenylaminopyrimidines I [X = NR6, CR7R8, C(:O); R1 = H, halogen, CN, (un)substituted C1-6-alkyl, C3-6-cycloalkyl, C1-6-alkoxycarbonyl, C1-6-alkylaminocarbonyl; R2 = F, Cl; R3 = H, OH, halogen, CF3, CF2CF3, (un)substituted C1-6-alkyl, C1-6-alkoxy, C3-8-cycloalkyl, C6-10-aryl, C6-10-aryloxy, 5- to 10-membered heteroaryl, heteroaryloxy, heterocyclyl, NR11R12, C(:O)R19; R4 = H, F, Cl; R5 = H, C1-6-alkyl; R6 = H, C1-3-alkyl; R7, R8 = H, Me; R12, R12 = H, C1-6-alkyl, C3-8-cycloalkyl, 5- to 10-membered heteroaryl; NR11R12 = 4- to 6-membered heterocycle, 7- to 12-membered bi- or tricyclic heterocycle; R19 = C1-6-alkoxy, C1-6-alkylamino, N-(monocyclic heterocycle), N-(bicyclic heterocycle); dashed line = single or double bond] or their salts, solvates or salt solvates, to a method for their production and to their use for producing medicaments for the treatment and/or prophylaxis of diseases in humans and animals, in particular for the treatment of cardiovascular diseases. The method for their preparation comprises: reaction of [4-(1H-pyrrolo[2,3-b]pyridin-4-yl)methyl]aniline derivs. II with pyrimidines III. Thus, 4-(3-fluoroanilino)-2-pyrimidinamine derivative IV was prepared from 3-fluoro-[4-(1H-pyrrolo[2,3-b]pyridin-4-yl)methyl]aniline V via amination of 4-chloro-6-(trifluoromethyl)pyridin-2-amine in aqueous HCl. Aniline V was prepared from 1H-pyrrolo[2,3-b]pyridine via N-oxidation with 3-ClC6H4CO2OH in CH2Cl2, regioselective nitration, N-alkylation with ClCH2OCH2CH2SiMe3 in DMF containing NaH, regioselective chlorination with ClCO2Me in THF containing hexamethyldisilazane, nucleophilic substitution with 3-F-4-O2NC6H3CH2CO2Me, decarbonylation with LiOH in wet MeOH, hydrogenolysis in EtOH containing Et3N and catalytic Pd/C and N-deprotection with with CF3CO2H in CH2Cl2. The bioactivity of II was determined [IC50 = 7 nM vs. Rho-kinase II (ROK $\alpha$ ); IC50 = 86 nM vs. blood vessel contraction (in vitro)].
- IT 688781-87-5P, 6-Chloro-4-nitro-1H-pyrrolo[2,3-b]pyridine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and N-alkylation of, with [2-(trimethylsilyl)ethoxy]methyl chloride; preparation of substituted phenylaminopyrimidines for use as cardiovascular agents)
- RN 688781-87-5 HCAPLUS
- CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-nitro- (9CI) (CA INDEX NAME)



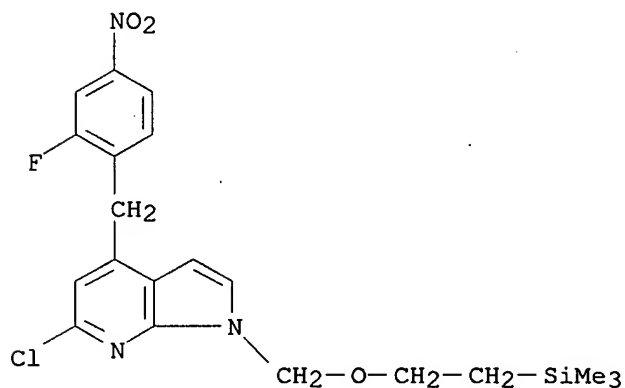
- IT 869335-22-8P 869335-31-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrogenolysis of; preparation of substituted phenylaminopyrimidines for use as cardiovascular agents)
- RN 869335-22-8 HCAPLUS
- CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-nitro-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)





RN 869335-31-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-[(2-fluoro-4-nitrophenyl)methyl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

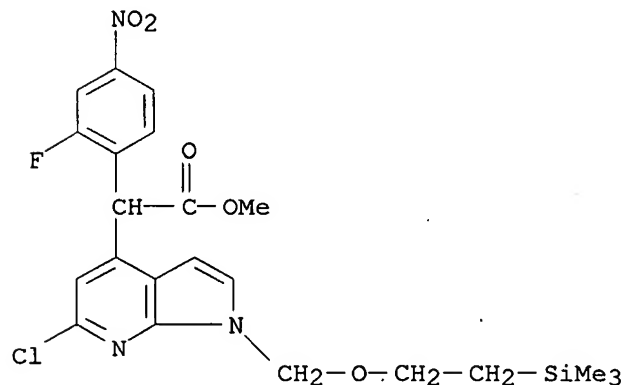


IT 869335-30-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, hydrolysis and decarboxylation of; preparation of substituted phenylaminopyrimidines for use as cardiovascular agents)

RN 869335-30-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-4-acetic acid, 6-chloro- $\alpha$ -(2-fluoro-4-nitrophenyl)-1-[[2-(trimethylsilyl)ethoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

3

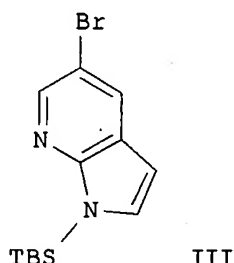
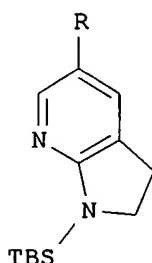
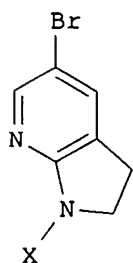
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:756718 HCAPLUS

DOCUMENT NUMBER: 141:260554  
 TITLE: Preparation of azaindoline intermediates with novel amino-protecting groups such as TBS-protected 5-bromo-7-azaindoline, and their applications to the synthesis of 5-substituted 7-azaindoles and 7-azaindoles  
 INVENTOR(S): Graczyk, Piotr; Khan, Afzal; Bhatia, Gurpreet  
 PATENT ASSIGNEE(S): Eisai London Research Laboratories Limited, UK; Eisai Co., Ltd.  
 SOURCE: PCT Int. Appl., 92 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078757	A2	20040916	WO 2004-GB946	20040305
WO 2004078757	A3	20050901		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1633750	A2	20060315	EP 2004-717703	20040305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006520771	T	20060914	JP 2006-505923	20040305
US 2006235042	A1	20061019	US 2006-548162	20060313
PRIORITY APPLN. INFO.:				
			GB 2003-5142	A 20030306
			WO 2004-GB946	W 20040305
OTHER SOURCE(S): CASREACT 141:260554; MARPAT 141:260554				
GI				



AB The invention provides a novel substituted azaindoline intermediate of formula I, wherein X is an amino-protecting group except Cbz, such as PhC(O)CH<sub>2</sub>-, CH<sub>2</sub>=CH-, ClCH<sub>2</sub>CH<sub>2</sub>-, Ph<sub>3</sub>C-, Ph<sub>2</sub>(4-pyridyl)C-, Me<sub>2</sub>N-, HOCH<sub>2</sub>-, t-BuOC(O)CH<sub>2</sub>-, Me<sub>2</sub>NCH<sub>2</sub>-, PhSO<sub>2</sub>-, and TBS, and a method for its synthesis. The intermediate I is provided for use in the manufacture of 5-substituted 7-azaindoles and 5-substituted 7-azaindoles. The key finding of this invention is that amino-protecting groups play a crucial role in the preparation of the intermediates and subsequent chemical transformations into various azaindol(in)es in an efficient and cost-effective way. The usefulness of TBS as protecting group has been fully demonstrated. For

example, 7-azaindole was reduced to 7-azaindoline with HCOOH and Et<sub>3</sub>N in the presence of Pd/C, and the product was silylated with TBSCl followed by bromination with bromine in pyridine to give intermediate II (R = Br). Lithiation of II (R = Br) with t-BuLi followed by the addition of electrophiles such as DMF afforded various derivs. such as II (R = CHO), which were further oxidized to their azaindole counterparts. Conversions of the bromine atom of II (R = Br) to stannyl or silyl groups via metal-exchange, and further applications were given. Oxidation of II (R = Br) with DDQ led to azaindole intermediate III, whose chemical in reactions such as the Suzuki and Stille reactions was shown.

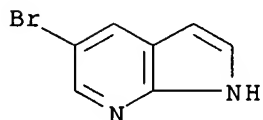
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754214-89-6P 754214-90-9P 754214-91-0P  
754214-92-1P 754214-93-2P 754214-94-3P  
754214-95-4P 754214-96-5P 754214-97-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of silyl azaindolines and their applications to the synthesis of 5-substituted 7-azaindolines and 7-azaindoles)

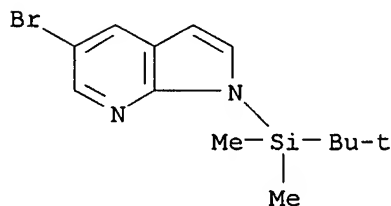
RN 183208-35-7 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



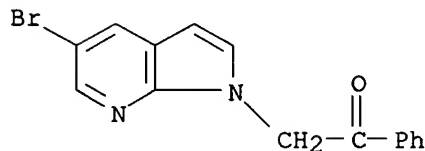
RN 754214-54-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)



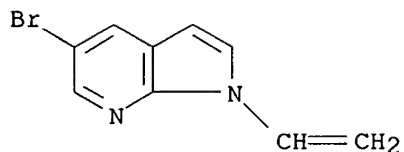
RN 754214-88-5 HCAPLUS

CN Ethanone, 2-(5-bromo-1H-pyrrolo[2,3-b]pyridin-1-yl)-1-phenyl- (9CI) (CA INDEX NAME)

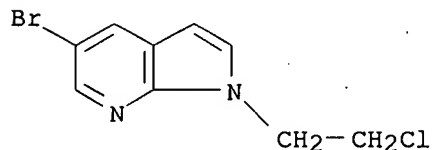


RN 754214-89-6 HCAPLUS

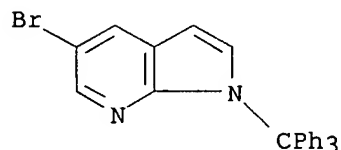
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-ethenyl- (9CI) (CA INDEX NAME)



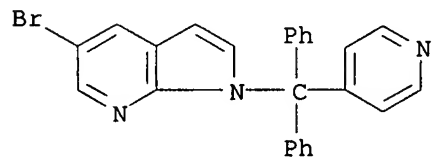
RN 754214-90-9 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-(2-chloroethyl)- (9CI) (CA INDEX NAME)



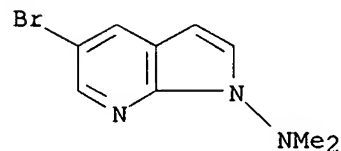
RN 754214-91-0 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-(triphenylmethyl)- (9CI) (CA INDEX NAME)



RN 754214-92-1 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-(diphenyl-4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

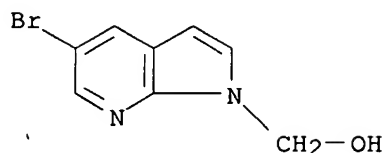


RN 754214-93-2 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine-1-amine, 5-bromo-N,N-dimethyl- (9CI) (CA INDEX NAME)



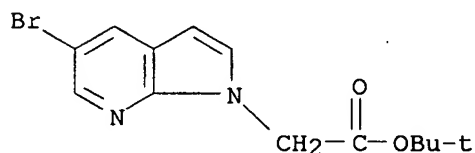
RN 754214-94-3 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine-1-methanol, 5-bromo- (9CI) (CA INDEX NAME)

10/ 502,538



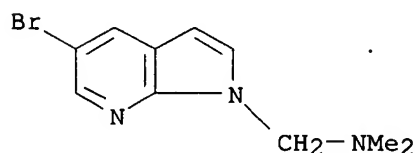
RN 754214-95-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-1-acetic acid, 5-bromo-, 1,1-dimethylethyl ester  
(9CI) (CA INDEX NAME)



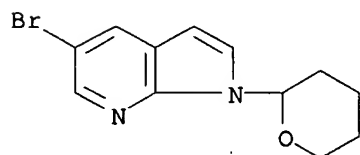
RN 754214-96-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-1-methanamine, 5-bromo-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 754214-97-6 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-(tetrahydro-2H-pyran-2-yl)- (9CI)  
(CA INDEX NAME)



L6 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:610149 HCAPLUS

DOCUMENT NUMBER: 141:157028

TITLE: Preparation of 2-carboxamido-3-aminothiophene derivatives for treatment of hyperproliferative disorder

INVENTOR(S): Wynne, Graham Michael; Doyle, Kevin; Ahmed, Saleh; Li, An-hu; Keily, John Fraser; Rasamison, Chrystelle; Pegg, Neil Anthony; Saba, Imaad; Thomas, Claire; Smyth, Don; Sadiq, Shazia; Newton, Gary; Dawson, Graham; Crew, Andrew Philip; Castelano, Arlindo Lucas

PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

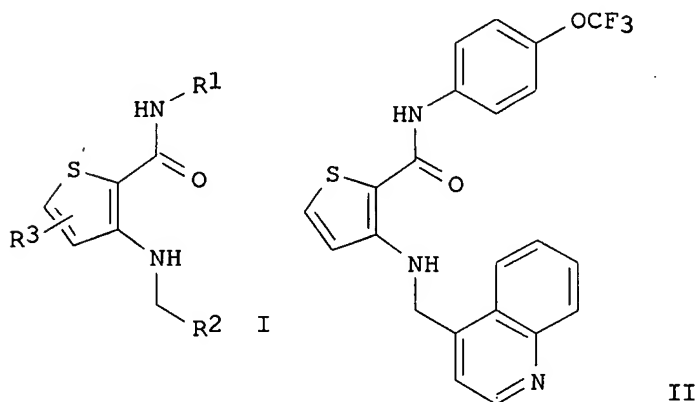
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063330	A2	20040729	WO 2004-US1188	20040106
WO 2004063330	A3	20050217		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004204135	A1	20040729	AU 2004-204135	20040106
CA 2512608	A1	20040729	CA 2004-2512608	20040106
EP 1590328	A2	20051102	EP 2004-700407	20040106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004006646	A	20051206	BR 2004-6646	20040106
CN 1723200	A	20060118	CN 2004-80001915	20040106
LV 13360	B	20060120	LV 2005-85	20050705
US 2006247275	A1	20061102	US 2006-476952	20060628
PRIORITY APPLN. INFO.:				
			US 2003-438152P	P 20030106
			US 2003-524972P	P 20031125
			US 2003-526358P	P 20031202
			US 2004-752342	A3 20040106
			WO 2004-US1188	W 20040106
			US 2005-116007	A3 20050427

OTHER SOURCE(S): MARPAT 141:157028  
GI



AB Title compds. I [wherein R1 = 4-F3COC6H5, 4-ClC6H4, 4-Br-3-MeC6H4, 2,2,3,3-tetrafluorobenzodioxan-6-yl; R2 = quinolin-4-yl, 2-MeNHCO-pyridin-4-yl, pyrrolo[2,3-b]pyridin-3-yl, pyrrolo[2,3-b]pyridin-4-yl; R3 = alkyl; and pharmaceutically acceptable salts or N-oxides thereof] were prepared as c-Kit tyrosine kinase inhibitors. For example, amidation of Me 3-amino-2-thiophenecarboxylate with 4-trifluoromethoxyaniline, followed by condensation with quinoline-4-carboxaldehyde, gave II. I showed better activity inhibiting c-Kit kinase than the nearest similar thiophene compds. in the art. Thus, I and their pharmaceutical compns. are useful for the treatment of hyperproliferative disorders (no data).

IT 55052-28-3P, 4-Chloro-1H-pyrrolo[2,3-b]pyridine

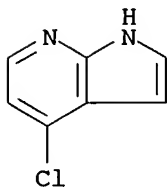
319474-34-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-carboxamido-3-aminothiophene derivs. as c-Kit kinase inhibitors for treatment of hyperproliferative disorder)

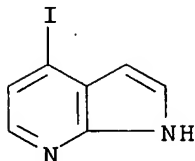
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



RN 319474-34-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-iodo- (9CI) (CA INDEX NAME)



L6 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:80698 HCAPLUS

DOCUMENT NUMBER: 140:146173

TITLE: Preparation of pyrrolotriazines as selective VEGFR-2 and FGFR-1 kinase inhibitors for treatment of proliferative diseases

INVENTOR(S): Bhide, Rajeev; Ruel, Rejean; Thibeault, Carl; L'heureux, Alexandre

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

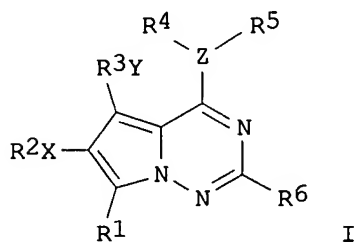
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009601	A1	20040129	WO 2003-US22554	20030718
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2492665	A1	20040129	CA 2003-2492665	20030718

AU 2003254017	A1	20040209	AU 2003-254017	20030718
US 2004063707	A1	20040401	US 2003-622593	20030718
US 6969717	B2	20051129		
US 2004072832	A1	20040415	US 2003-623171	20030718
US 6869952	B2	20050322		
EP 1539763	A1	20050615	EP 2003-765754	20030718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1681818	A	20051012	CN 2003-821820	20030718
CN 1681508	A	20051012	CN 2003-821915	20030718
JP 2005538990	T	20051222	JP 2004-523591	20030718
CN 1903840	A	20070131	CN 2006-10115789	20030721
US 2005124621	A1	20050609	US 2005-35248	20050113
NO 2005000417	A	20050217	NO 2005-417	20050125
US 2006058304	A1	20060316	US 2005-214267	20050829
PRIORITY APPLN. INFO.:			US 2002-397256P	P 20020719
			US 2003-447213P	P 20030213
			US 2003-622280	A 20030718
			US 2003-622593	A3 20030718
			US 2003-623171	A1 20030718
			WO 2003-US22554	W 20030718
			CN 2003-816201	A3 20030721
OTHER SOURCE(S):			MARPAT 140:146173	
GI				



AB Title compds. I [Z = O, S, N, etc.; X, Y = O, OCO, S, etc.; R1 = H, CH3, OH, etc.; R2, R3 = H, (un)substituted alkyl, alkenyl etc.; R4 = (un)substituted 7-azaindolyl, e.g., F, Cl, Me; R5 = H, absent when Z = O, S; R6 = H, (un)substituted alkyl, aryl, etc.] and their pharmaceutically acceptable salts were prepared. For example, electrophilic substitution of compound I [R1 = H; R2X = benzyloxy; R3Y = CH3; ZR5R6 = Cl] with 4-fluoro-5-hydroxy-7-azaindole, e.g., prepared from 4-chloro-1H-pyrrolo[2,3-b]pyridine in 6-steps, afforded compound I [R1 = H; R2X = benzyloxy; R3Y = CH3; ZR5R6 = 4-fluoro-7-azaindol-5-yloxy] in 80% yield. In VEGFR-2 and FGFR-1 kinase assays, 38-examples of compds. I exhibited IC50 values ranging from 0.001-10  $\mu$ M. Of note, pyrrolo[2,3-b]pyridines I exhibited selective VEGFR-2 and FGFR-1 kinase inhibition (no data provided). Compds. I are claimed useful for the treatment of cancer, inflammation, autoimmune diseases.

IT 651743-86-1P 651743-87-2P 651743-88-3P  
 651743-89-4P 651743-92-9P 651743-93-0P  
 651743-94-1P 651743-96-3P 651743-97-4P  
 651743-99-6P 651744-01-3P 651744-02-4P  
 651744-03-5P 651744-05-7P 651744-06-8P  
 651744-09-1P 651744-10-4P 651744-11-5P  
 651744-12-6P 651744-52-4P 651744-55-7P  
 651744-56-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

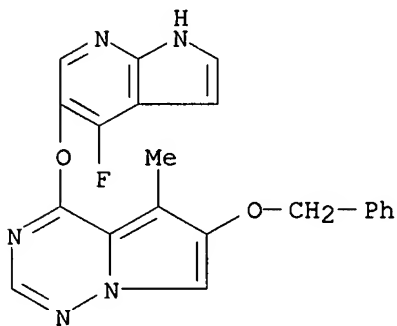


(Uses)

(preparation of pyrrolotriazines as selective VEGFR-2 and FGFR-1 kinase inhibitors for treatment of proliferative diseases)

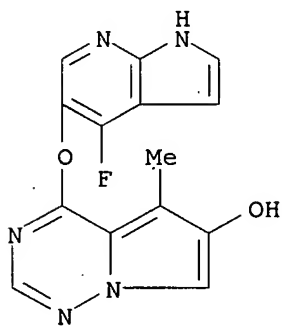
RN 651743-86-1 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazine, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 651743-87-2 HCAPLUS

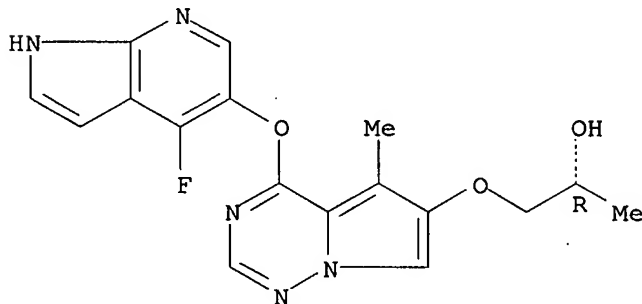
CN Pyrrolo[2,1-f][1,2,4]triazin-6-ol, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl- (9CI) (CA INDEX NAME)



RN 651743-88-3 HCAPLUS

CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl)oxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

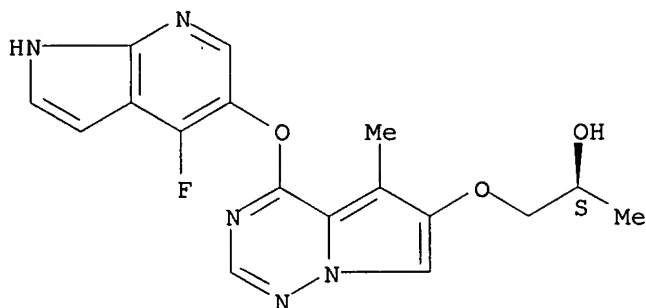


RN 651743-89-4 HCAPLUS

CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-

methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-, (2S)- (9CI) (CA INDEX NAME)

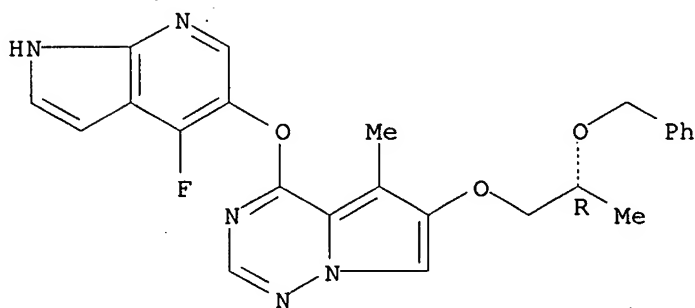
Absolute stereochemistry.



RN 651743-92-9 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazine, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl-6-[(2R)-2-(phenylmethoxy)propoxy]- (9CI) (CA INDEX NAME)

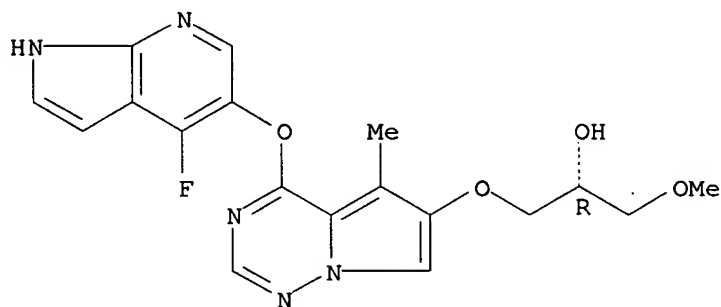
Absolute stereochemistry.



RN 651743-93-0 HCAPLUS

CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-3-methoxy-, (2R)- (9CI) (CA INDEX NAME)

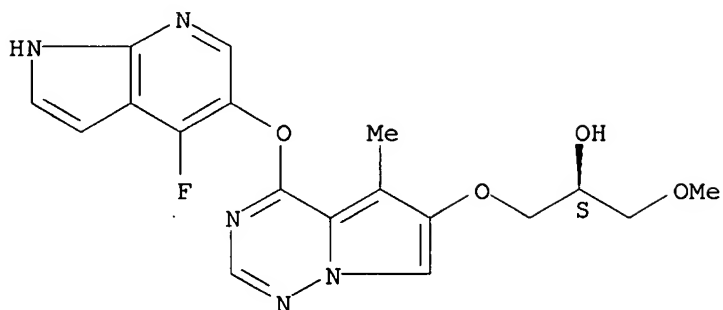
Absolute stereochemistry.



RN 651743-94-1 HCAPLUS

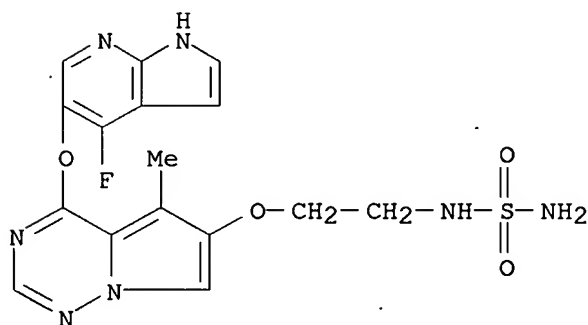
CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-3-methoxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



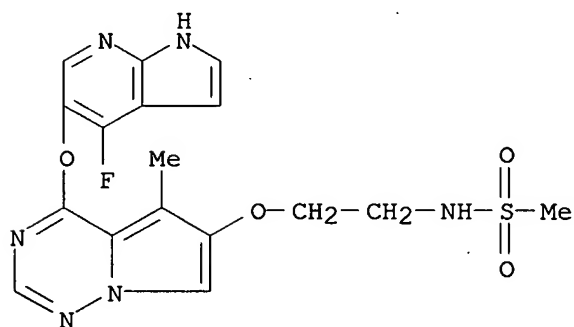
RN 651743-96-3 HCAPLUS

CN Sulfamide, [2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 651743-97-4 HCAPLUS

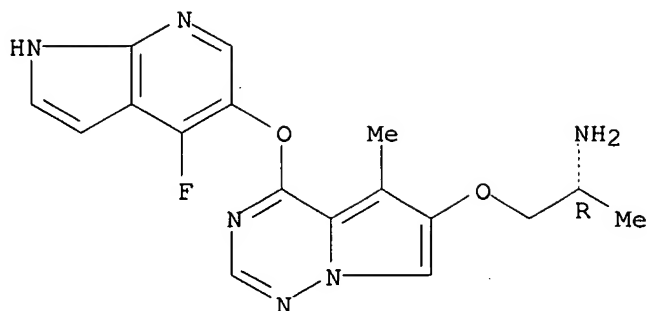
CN Methanesulfonamide, N-[2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 651743-99-6 HCAPLUS

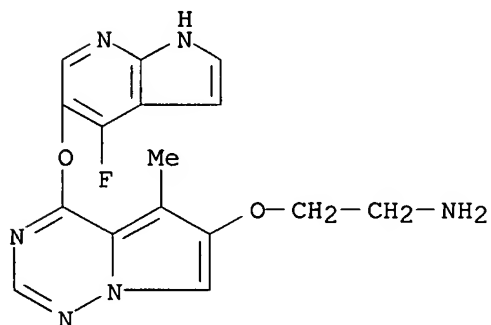
CN 2-Propanamine, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



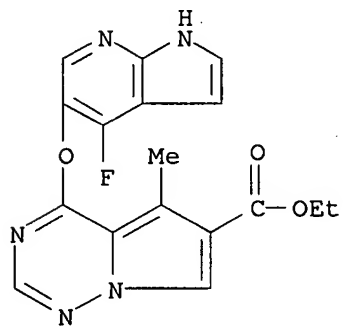
RN 651744-01-3 HCAPLUS

CN Ethanamine, 2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]- (9CI) (CA INDEX NAME)



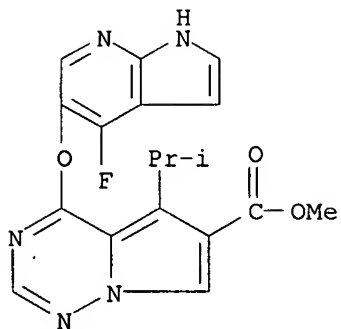
RN 651744-02-4 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazine-6-carboxylic acid, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



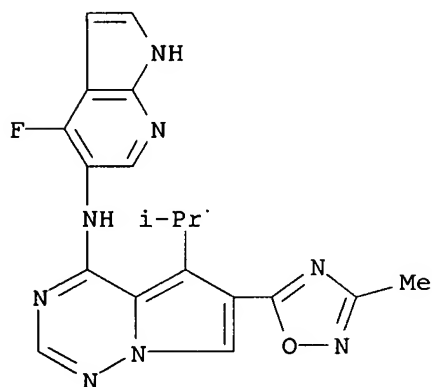
RN 651744-03-5 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazine-6-carboxylic acid, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-(1-methylethyl)-, methyl ester (9CI) (CA INDEX NAME)



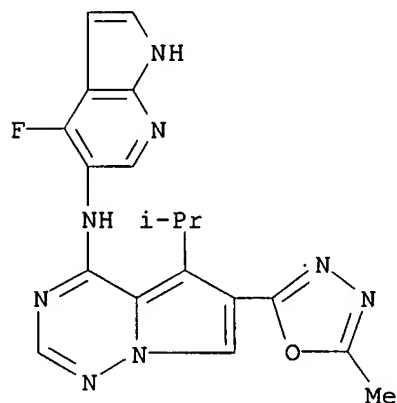
RN 651744-05-7 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-(1-methylethyl)-6-(3-methyl-1,2,4-oxadiazol-5-yl)- (9CI) (CA INDEX NAME)



RN 651744-06-8 HCAPLUS

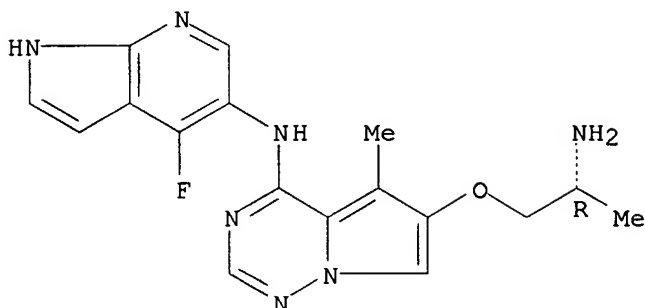
CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-(1-methylethyl)-6-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)



RN 651744-09-1 HCAPLUS

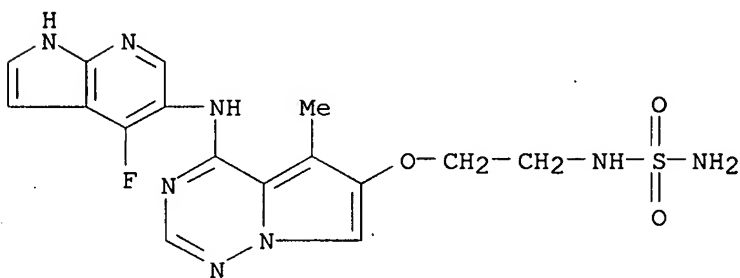
CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, 6-[(2R)-2-aminopropoxy]-N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651744-10-4 HCAPLUS

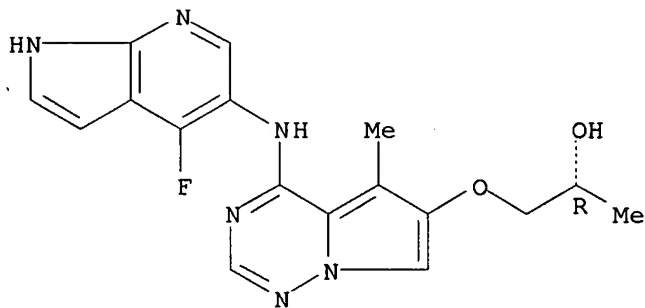
CN Sulfamide, [2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)amino]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 651744-11-5 HCAPLUS

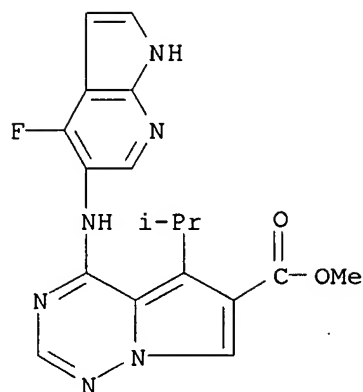
CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)amino]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651744-12-6 HCAPLUS

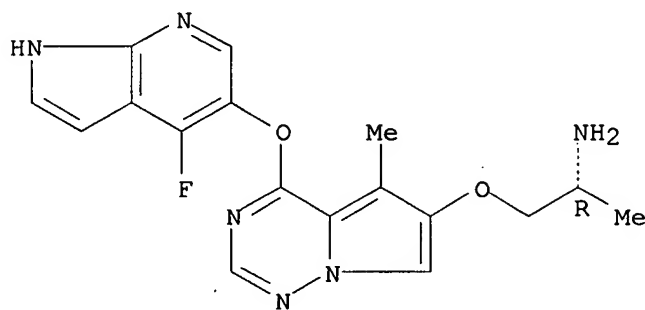
CN Pyrrolo[2,1-f][1,2,4]triazine-6-carboxylic acid, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)amino]-5-(1-methylethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 651744-52-4 HCAPLUS

CN 2-Propanamine, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

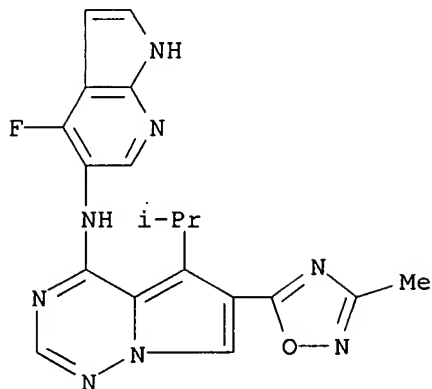
Absolute stereochemistry.



●2 HCl

RN 651744-55-7 HCAPLUS

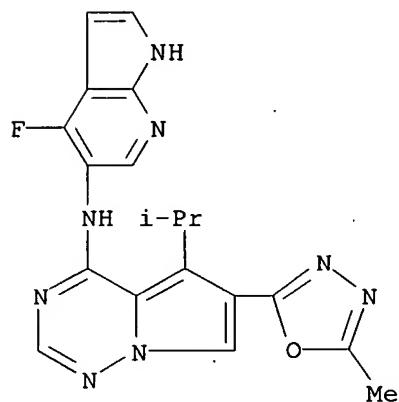
CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-(1-methylethyl)-6-(3-methyl-1,2,4-oxadiazol-5-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 651744-56-8 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-(1-methylethyl)-6-(5-methyl-1,3,4-oxadiazol-2-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 348640-06-2P 640735-23-5P 640735-24-6P  
 640735-25-7P 651744-21-7P 651744-22-8P  
 651744-26-2P 651744-29-5P 651744-32-0P  
 651744-35-3P 651744-36-4P 651744-37-5P  
 651744-41-1P 651744-42-2P

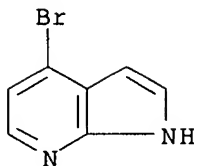
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolotriazines as selective VEGFR-2 and FGFR-1 kinase inhibitors for treatment of proliferative diseases)

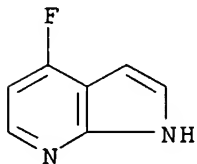
RN 348640-06-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)

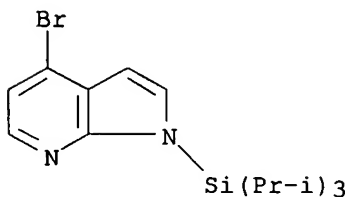




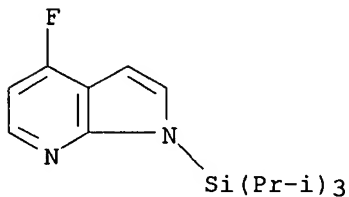
RN 640735-23-5 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-fluoro- (9CI) (CA INDEX NAME)



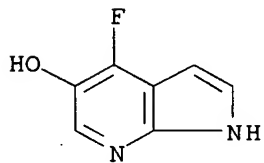
RN 640735-24-6 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-1-[tris(1-methylethyl)silyl]- (CA INDEX NAME)



RN 640735-25-7 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-fluoro-1-[tris(1-methylethyl)silyl]- (9CI) (CA INDEX NAME)



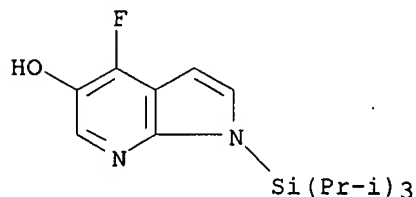
RN 651744-21-7 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-5-ol, 4-fluoro- (9CI) (CA INDEX NAME)



10/ 502,538

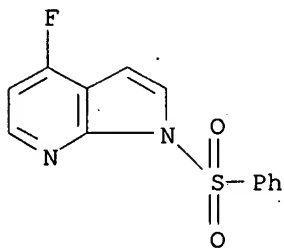
RN 651744-22-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-5-ol, 4-fluoro-1-[tris(1-methylethyl)silyl]-  
(9CI) (CA INDEX NAME)



RN 651744-26-2 HCAPLUS

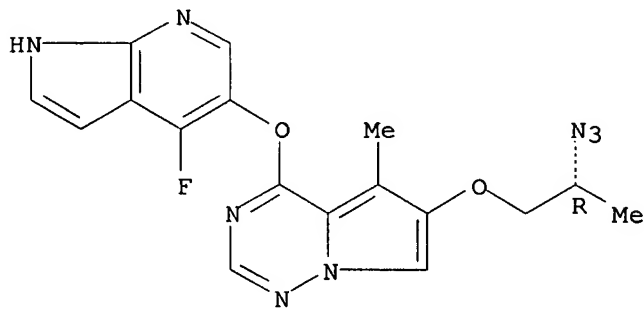
CN 1H-Pyrrolo[2,3-b]pyridine, 4-fluoro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 651744-29-5 HCAPLUS

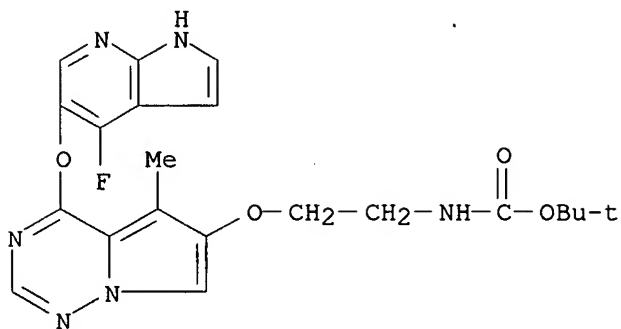
CN Pyrrolo[2,1-f][1,2,4]triazine, 6-[(2R)-2-azidopropoxy]-4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

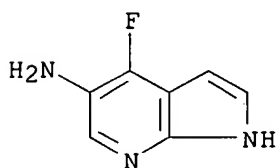


RN 651744-32-0 HCAPLUS

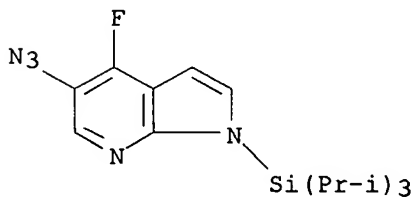
CN Carbamic acid, [2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



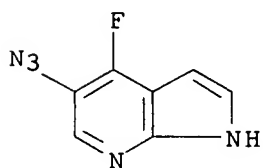
RN 651744-35-3 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 4-fluoro- (9CI) (CA INDEX NAME)



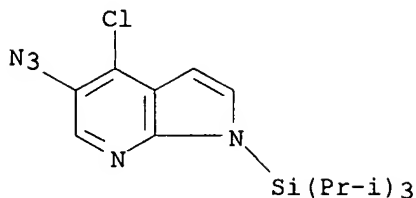
RN 651744-36-4 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-azido-4-fluoro-1-[tris(1-methylethyl)silyl]- (9CI) (CA INDEX NAME)



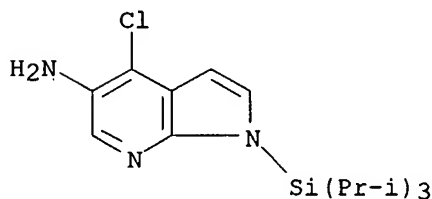
RN 651744-37-5 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-azido-4-fluoro- (9CI) (CA INDEX NAME)



RN 651744-41-1 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-azido-4-chloro-1-[tris(1-methylethyl)silyl]- (9CI) (CA INDEX NAME)



RN 651744-42-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 4-chloro-1-[tris(1-methylethyl)silyl]-  
(9CI) (CA INDEX NAME)REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:960502 HCAPLUS

DOCUMENT NUMBER: 140:77054

TITLE: Concise and Efficient Synthesis of  
4-Fluoro-1H-pyrrolo[2,3-b]pyridineAUTHOR(S): Thibault, Carl; L'Heureux, Alexandre; Bhide, Rajeev  
S.; Ruel, RejeanCORPORATE SOURCE: Department of Discovery Chemistry, Pharmaceutical  
Research Institute, Bristol-Myers Squibb, Candiac, QC,  
J5R 1J1, Can.

SOURCE: Organic Letters (2003), 5(26), 5023-5025

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:77054

AB Two routes describing the preparation of 4-fluoro-1H-pyrrolo[2,3-b]pyridine  
from 1H-pyrrolo[2,3-b]pyridine N-oxide are presented.  
Regioselective fluorination was achieved using either the Balz-Schiemann  
reaction or Li-halogen exchange.

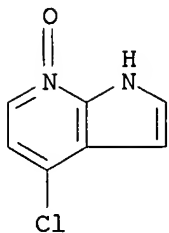
IT 74420-03-4P, 4-Chloro-1H-Pyrrolo[2,3-b]pyridine 7-oxide

640735-27-9P, 4-Bromo-1H-Pyrrolo[2,3-b]pyridine 7-oxide

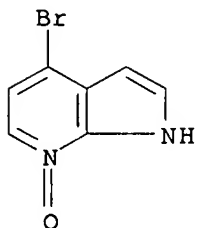
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and attempted fluorination of)

RN 74420-03-4 HCAPLUS

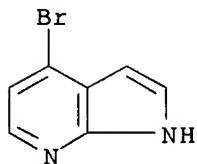
CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-, 7-oxide (9CI) (CA INDEX NAME)



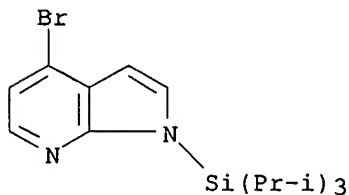
RN 640735-27-9 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-, 7-oxide (9CI) (CA INDEX NAME)



IT 348640-06-2P, 4-Bromo-1H-Pyrrolo[2,3-b]pyridine  
640735-24-6P, 4-Bromo-1-(triisopropylsilyl)-1H-Pyrrolo[2,3-b]pyridine 640735-25-7P, 4-Fluoro-1-(triisopropylsilyl)-1H-Pyrrolo[2,3-b]pyridine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(regioselective fluorination of 1H-pyrrolo[2,3-b]pyridine N-oxide by lithium-halogen exchange)  
RN 348640-06-2 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)

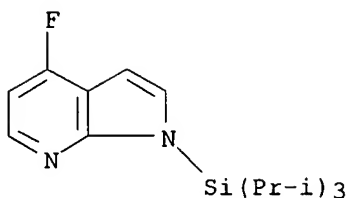


RN 640735-24-6 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-1-[tris(1-methylethyl)silyl]- (CA INDEX NAME)



RN 640735-25-7 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 4-fluoro-1-[tris(1-methylethyl)silyl]- (9CI)

(CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:855655 HCAPLUS

DOCUMENT NUMBER: 139:350636

TITLE: Preparation of amino heteroaryl amides for use in pharmaceutical compositions for the treatment of angiogenesis mediated diseases such as cancer

INVENTOR(S): Patel, Vinod F.; Askew, Benny; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Germain, Julie; Habgood, Gregory J.; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Riahi, Babak; Yuan, Chester Chenguang; Elbaum, Daniel

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 148 pp., Cont.-in-part of U.S. Ser. No. 46,622.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003203922	A1	20031030	US 2002-197918	20020717
US 7102009	B2	20060905		
US 2003195230	A1	20031016	US 2002-46622	20020110
US 7105682	B2	20060912		
CN 1538836	A	20041020	CN 2002-806467	20020111
ZA 2003005198	A	20040630	ZA 2003-5198	20030704
CA 2492045	A1	20040122	CA 2003-2492045	20030715
WO 2004007481	A2	20040122	WO 2003-US22275	20030715
WO 2004007481	A3	20040219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003263784	A1	20040202	AU 2003-263784	20030715
EP 1562933	A2	20050817	EP 2003-764755	20030715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006502118	T	20060119	JP 2004-521922	20030715

US 2006194848  
PRIORITY APPLN. INFO.:

A1 20060831

US 2006-417329

20060502

US 2001-261882P

P 20010112

US 2001-323808P

P 20010919

US 2002-46622

A2 20020110

US 2002-197918

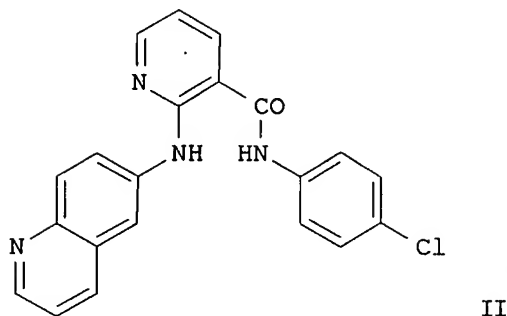
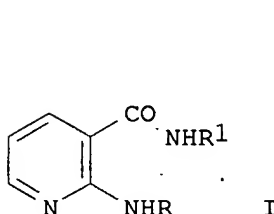
A 20020717

WO 2003-US22275

W 20030715

OTHER SOURCE(S):  
GI

MARPAT 139:350636



AB Amino substituted heteroaryl amides, such as I [R = nitrogen containing heteroaryl, such as quinolinyl, isoquinolinyl, indazolyl; R1 = aryl, cycloalkyl, heteroaryl, heterocyclyl], were prepared for therapeutic use. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of cancer, angiogenesis related disorders, KDR-related disorders, cell proliferation related disorders, inflammation, reducing blood flow in tumors, reducing tumor size and diabetic retinopathy. Thus, amide II was prepared via an amination reaction of 2-chloronicotinic acid with 6-aminoquinoline followed by an amidation reaction of the aminonicotinic acid derivative thus formed with 4-chloroaniline. Biol. evaluations included HUVEC proliferation assay, inhibition of angiogenesis in the rat corneal neovascularization micropocket model, and antitumor activity using A431 rat tumor cells.

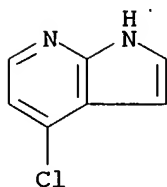
IT 55052-28-3P, 4-Chloro-1H-pyrrolo[2,3-b]pyridine  
443729-67-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT. (Reactant or reagent)

(preparation of aminopyridinecarboxamides for therapeutic use in treatment of angiogenesis mediated diseases such as cancer)

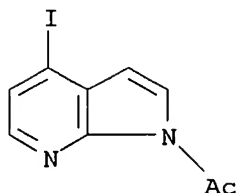
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



RN 443729-67-7 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-acetyl-4-iodo- (9CI) (CA INDEX NAME)

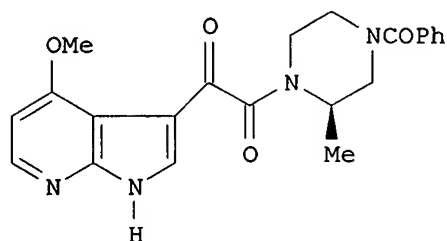
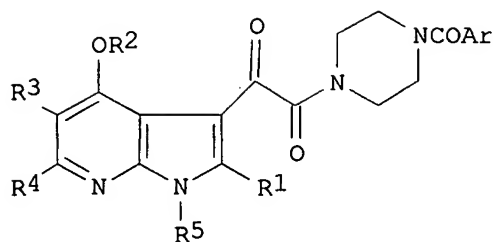


REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:796491 HCAPLUS  
 DOCUMENT NUMBER: 139:307795  
 TITLE: Process for the preparation of antiviral 7-azaindole derivatives  
 INVENTOR(S): Benoit, Serge; Gingras, Stephane; Soundararajan, Nachimuthu  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082289	A1	20031009	WO 2003-US9055	20030325
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004044025	A1	20040304	US 2003-395320	20030324
US 6884889	B2	20050426		
AU 2003224760	A1	20031013	AU 2003-224760	20030325
EP 1487450	A1	20041222	EP 2003-721447	20030325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005059695	A1	20050317	US 2004-948405	20040923
US 7105677	B2	20060912		
PRIORITY APPLN. INFO.:			US 2002-367401P	P 20020325
			US 2003-395320	A3 20030324
			WO 2003-US9055	W 20030325
OTHER SOURCE(S):			CASREACT 139:307795; MARPAT 139:307795	
GI				





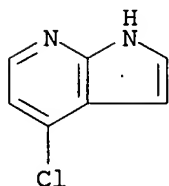
AB A process for the manufacture of azaindoles I [R1, R3, R4 = H, alkyl, alkenyl, cycloalkenyl, alkynyl, halogen, CN, Ph, acyl, (un)substituted CONH2, OH, SH, NH2; R2 = Me, Et, CH2CF3, Pr; R5 = H, alkyl, cycloalkyl, cyclolakenyl, CH2Ph, alkenyl, alkynyl, (un)substituted CONH2; Ar = (un)substituted Ph, pyridyl, furyl, thienyl; the piperazine ring may be further substituted] is described. The products are useful as therapeutic agents for the treatment of HIV and AIDS. Thus, 1H-pyrrolo[2,3-b]pyridine was oxidized with 3-ClC6H4CO2OH to its 7-oxide which was chlorinated with MeSO2Cl in MeCN to give 4-chloro-1H-pyrrolo[2,3-b]pyridine. This compound was converted to the 4-methoxy derivative by treatment with KOMe in PhMe and treated with ClCOCOMe in presence of AlCl3 to give Me (4-methoxy-7-azaindol-3-yl)oxoacetate which was hydrolyzed to the acid and amidated with vilsmeier reagent and (R)-3-methyl-1-benzoylpiperazine to give the azaindole II.

IT 55052-28-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the preparation of antiviral 7-azaindole derivs.)

RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



REFERENCE COUNT:

2

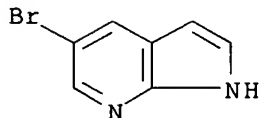
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2003:297060 HCAPLUS

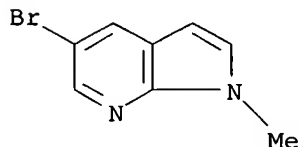
DOCUMENT NUMBER: 139:133381  
 TITLE: Synthesis of new melatonin analogues from dimers of  
 azaindole and indole by use of Suzuki homocoupling  
 AUTHOR(S): Guillard, Jerome; Larraya, Carlos; Viaud-Massuard,  
 Marie-Claude  
 CORPORATE SOURCE: EA 3247 GRCHT Laboratoire de Chimie Organique, UFR des  
 Sciences Pharmaceutiques, Universite de Tours, Tours,  
 37200, Fr.  
 SOURCE: Heterocycles (2003), 60(4), 865-877  
 CODEN: HTCYAM; ISSN: 0385-5414  
 PUBLISHER: Japan Institute of Heterocyclic Chemistry  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:133381  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

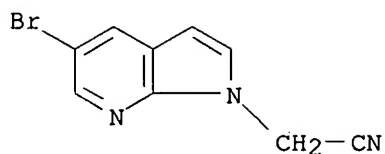
AB N-2-[3'-(2-Acetylaminoethyl)-1H,1'H-[5,5']biindol-3-yl]- and  
 N-{2-[1'-(2-acetylaminoethyl)-1'H-[5,5'] biindol-1-yl]ethyl}acetamide (I;  
 R2 = R4 = H, R3 = R5 = CH2CH2NHAc; R2 = R4 = CH2CH2NHAc, R3 = R5 = H;  
 resp.) and their analogs in 7-azaindole series (II; R2 = R4 = Me, R3 = R5  
 = CH2CH2NHAc; R2 = R4 = CH2CH2NHAc, R3 = R5 = Me; resp.) were synthesized  
 via Suzuki coupling reaction starting from indole or 7-azaindole using  
 [1,1'-bis(diphenylphosphino)ferrocene] dichloropalladium as catalyst.  
 IT 183208-35-7P, 5-Bromo-7-azaindole  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (N-methylation of; preparation of melatonin analogs via palladium-catalyzed  
 Suzuki homocoupling reactions of azaindole and indole dimers)  
 RN 183208-35-7 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



IT 183208-22-2P, 5-Bromo-1-methyl-7-azaindole 562823-31-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of melatonin analogs via palladium-catalyzed Suzuki  
 homocoupling reactions of azaindole and indole dimers)  
 RN 183208-22-2 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-methyl- (9CI) (CA INDEX NAME)



RN 562823-31-8 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine-1-acetonitrile, 5-bromo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:120388 HCAPLUS

DOCUMENT NUMBER: 138:287200

TITLE: Comprehensive Studies on Dual Excitation Behavior of Double Proton versus Charge Transfer in 4-(N-Substituted amino)-1H-pyrrolo[2,3-b]pyridines

AUTHOR(S): Cheng, Chung-Chih; Chang, Chen-Pin; Yu, Wei-Shan;

CORPORATE SOURCE: Hung, Fa-Tsai; Liu, Yun-I.; Wu, Guo-Ray; Chou, Pi-Tai  
Department of Chemistry, Fu-Jen Catholic University, Taipei, Taiwan

SOURCE: Journal of Physical Chemistry A (2003), 107(10), 1459-1471

CODEN: JPCAFH; ISSN: 1089-5639

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:287200

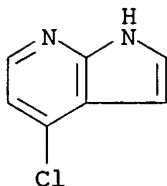
AB Comprehensive spectroscopic and dynamical studies on the dual excitation behavior of proton vs charge transfer for 4-(dimethylamino)-1H-pyrrolo[2,3-b]pyridine (DPP) and its related derivs. are reported. In cyclohexane, DPP dimer and/or dual hydrogen-bonded complex are formed with association consts.  $K_a$  as high as  $\approx 4.2 \times 10^3$  and  $5.2 \times 10^4$  M<sup>-1</sup> (e.g., the DPP/acetic acid complex) at 298 K, resp., which upon electronic excitation undergo ultrafast rate ( $\approx 6.7 \times 10^{10}$  s<sup>-1</sup>) of double-proton transfer, resulting in a unique tautomer emission. Dual fluorescence was observed in polar, aprotic solvents, in which the large Stokes shifted emission band originates from the charge-transfer species incorporating a dimethylamine and pyridine ring as electron donor and acceptor, resp. Detailed solvent-polarity and temperature-dependent studies in combination with theor. approaches have been performed to determine the excited-state charge-transfer properties such as dipole moment, orbital configuration, etc. Supplementary support for the dual charge/proton-transfer behavior was provided by the comparative spectroscopy and dynamics of various DPP-related derivs. Further time-resolved measurements conclude that dual emissions share a common Franck-Condon excited state but undergo two independent relaxation channels. In protic solvents, such as ethanol, following fast solvent relaxation dynamics, the excited charge-transfer state further undergoes a solvent (i.e. alc.) assisted proton-transfer reaction. The charge vs. proton-transfer emission can be distinguished via the temporal spectral evolution. The results demonstrate DPP to be a unique model among 7-azaindole analogs in which the interplay between charge and proton-transfer reactions is operative in the excited state.

IT 55052-28-3P, 1H-Pyrrolo[2,3-b]pyridine,4-chloro-  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(dual excitation behavior of double proton vs. charge transfer in 4-(N-substituted amino)-1H-pyrrolo[2,3-b]pyridines)

RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:5957 HCAPLUS

DOCUMENT NUMBER: 138:55984

TITLE: Preparation of azaindoles as protein kinase inhibitors  
 INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine  
 Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets,  
 Stephanie Daniele; Edlin, Chris; Gardner, Charles J.;  
 Kominos, Dorothea; Pedgrift, Brian Leslie; Halley,  
 Frank; Gillespy, Timothy Alan; Edwards, Michael;  
 Clerc, Francois Frederic; Nemecek, Conception;  
 Houille, Olivier; Damour, Dominique; Bouchard, Herve;  
 Bezard, Daniel; Carrez, Chantal

PATENT ASSIGNEE(S): Aventis Pharma Limited, UK

SOURCE: PCT Int. Appl., 373 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

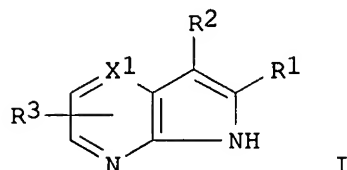
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000688	A1	20030103	WO 2002-GB2799	20020620
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2451678	A1	20030103	CA 2002-2451678	20020620
EP 1397360	A1	20040317	EP 2002-730531	20020620
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EE 200400015	A	20040415	EE 2004-15	20020620
BR 2002010507	A	20040615	BR 2002-10507	20020620
SI 21462	A	20041031	SI 2002-20015	20020620
JP 2004534826	T	20041118	JP 2003-507091	20020620
HU 200400247	A2	20050128	HU 2004-247	20020620
CN 1665809	A	20050907	CN 2002-812476	20020620
NZ 529205	A	20060428	NZ 2002-529205	20020620
US 2004053931	A1	20040318	US 2002-177804	20020621
US 6897207	B2	20050524		
ZA 2003009648	A	20050311	ZA 2003-9648	20031211

BG 108481	A	20050531	BG 2003-108481	20031219
US 2005267304	A1	20051201	US 2004-995103	20041123
PRIORITY APPLN. INFO.:			GB 2001-15109	A 20010621
			US 2001-300257P	P 20010622
			WO 2002-GB2799	W 20020620
			US 2002-177804	A1 20020621

OTHER SOURCE(S): MARPAT 138:55984  
GI



AB The invention is directed to physiologically active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine) and compounds containing such compounds; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compounds and their prodrugs. Such compounds and compounds have valuable pharmaceutical properties, in particular the ability to inhibit kinases, especially Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of preparation are not claimed, >100 example preparations of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by  $\geq 1$  groups = alkylenedioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(O)R, -C(O)OR5, -C(O)NY1Y2, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, lower alkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -NY3Y4, -N(R6)C(O)R, -N(R6)C(O)NY1Y2, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and  $\geq 1$  halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and  $\geq 1$  hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl; alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and  $\geq 1$  hydroxy, alkoxy and carboxy. X1 = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R4, CNY1Y2, COH, CZ2R, CC(O)R, CC(O)OR5, CC(O)NY1Y2, CN(R8)C(O)R, CN(R6)C(O)OR7, CN(R6)C(O)NY3Y4, CN(R6)SO2NY3Y4, CN(R6)SO2R, CSO2NY3Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by  $\geq 1$  aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NNY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by  $\geq 1$  aryl, halo, heteroaryl,

heterocycloalkyl, hydroxy, -C(O)NY3Y4, -C(O)OR5, NY3Y4, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 = O or S; Z2 = O or S(O)n; Z3 = O, S(O)n, NR6; n = 0-2.

IT 55052-28-3P, 4-Chloro-1H-pyrrolo[2,3-b]pyridine

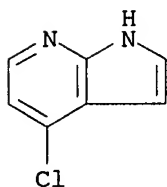
348640-05-1P, 4-Chloro-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine 479552-71-1P, 5-Bromo-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azaindoles as protein kinase inhibitors with therapeutic uses)

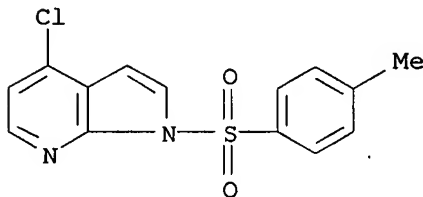
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



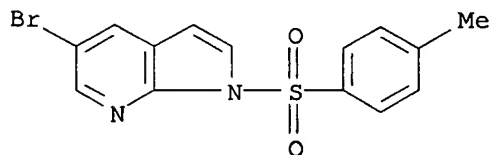
RN 348640-05-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-1-[(4-methylphenyl)sulfonyl]- (9CI)  
(CA INDEX NAME)



RN 479552-71-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-[(4-methylphenyl)sulfonyl]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:489395 HCAPLUS

DOCUMENT NUMBER: 135:92651

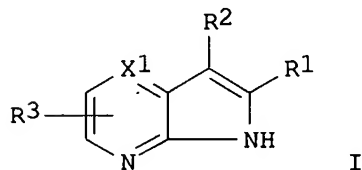
TITLE: Preparation of azaindoles as protein kinase inhibitors

INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine

Yeun Quai; Morley, Andrew David; Amendola, Shelley;  
 Deprets, Stephanie; Edlin, Chris  
 PATENT ASSIGNEE(S): Aventis Pharma Ltd., UK  
 SOURCE: PCT Int. Appl., 270 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047922	A2	20010705	WO 2000-GB4993	20001227
WO 2001047922	A3	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2395593	A1	20010705	CA 2000-2395593	20001227
EP 1263759	A2	20021211	EP 2000-985695	20001227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000017038	A	20030107	BR 2000-17038	20001227
HU 200203895	A2	20030228	HU 2002-3895	20001227
EE 200200343	A	20030616	EE 2002-343	20001227
JP 2003519144	T	20030617	JP 2001-549392	20001227
NZ 519121	A	20040528	NZ 2000-519121	20001227
AU 777717	B2	20041028	AU 2001-22094	20001227
CN 1615873	A	20050518	CN 2004-10078969	20001227
ZA 2002004126	A	20030825	ZA 2002-4126	20020523
BG 106836	A	20030430	BG 2002-106836	20020618
NO 2002003032	A	20020621	NO 2002-3032	20020621
US 2004009983	A1	20040115	US 2002-178667	20020624
US 6770643	B2	20040803		
US 2004198737	A1	20041007	US 2004-827978	20040420
NO 2006006017	A	20020621	NO 2006-6017	20061227
PRIORITY APPLN. INFO.:				
			GB 1999-30698	A 19991224
			US 2000-215818P	P 20000705
			WO 2000-GB4993	W 20001227
			US 2002-178667	A3 20020624

OTHER SOURCE(S): MARPAT 135:92651  
 GI



AB The invention is directed to compns. containing physiol. active compds. of general formula [I; wherein R1 is (un)substituted aryl or heteroaryl; R2 represents hydrogen, acyl, cyano, halo, lower alkenyl or lower alkyl

optionally substituted by a substituent selected from cyano, heteroaryl, heterocycloalkyl, -Z1R8, -CONY3Y4, -CO2R8, -NY3Y4, -N(R6)COR7, -N(R6)CONY3Y4, -N(R6)CO2R7, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and one or more halogen atoms; R3 represents hydrogen, aryl, cyano, halo, heteroaryl, lower alkyl, -CO2R5 or -CONY3Y4; and X1 represents N, CH, C-halo, C-CN, C-R7, C-NY3Y4, C-OH, C-Z2R7, C-CO2R5, C-CONY3Y4, C-N(R8)COR7, C-SO2NY3Y4, C-N(R8)SO2R7, C-alkenyl, C-alkynyl or C-NO2; wherein R5 represents hydrogen, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl; R6 represents hydrogen or lower alkyl; R7 represents alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 represents hydrogen or lower alkyl; represents; Y3 and Y4 are independently hydrogen, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 represents O or S; Z2 represents O or S(O)n; n is zero or an integer 1 or 2] and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. These compds. have valuable pharmaceutical properties, in particular the ability to inhibit protein kinases, especially Syk kinase, and are useful for the treatment of asthma, psoriasis, joint inflammation, and inflammatory bowel disease. Thus, a stirred solution of diisopropylamine (59.9 mL) in THF (1,400 mL), at -15 °C and under nitrogen, was treated with a solution of n-butyllithium in hexanes (131 mL, 1.6 M) over 25 min at <-10°. After stirring for 30 min the mixture was treated with methylpyrazine (26.8 g) over 15 min, then stirred for 1 h and then treated with a solution of 5-methoxy-1-methyl-1H-indole-3-carbonitrile (53 g) in THF (600 mL) over 1 h at <-10°, and the reaction mixture was allowed to warm to room temperature over 2 h and then stood overnight to give, after

workup

and flash chromatog., 6-(5-Methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine (19.4 g) as a gray solid. I showed IC50 of 10-100 nM against Syk kinase.

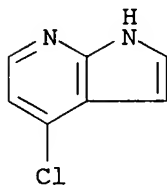
IT 55052-28-3P 348640-05-1P 348640-07-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of azaindoles as protein kinase inhibitors)

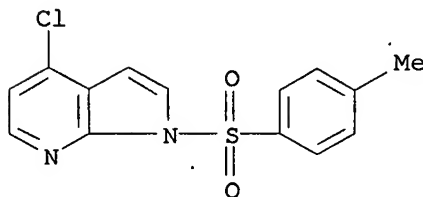
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



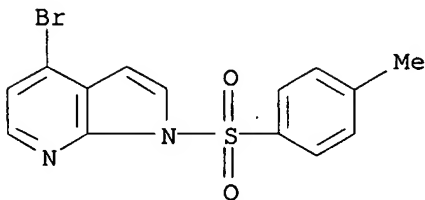
RN 348640-05-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-1-[(4-methylphenyl)sulfonyl]- (9CI)  
(CA INDEX NAME)

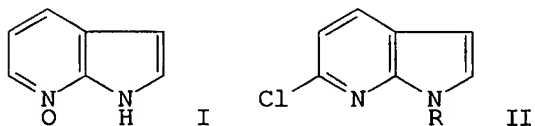




RN 348640-07-3 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



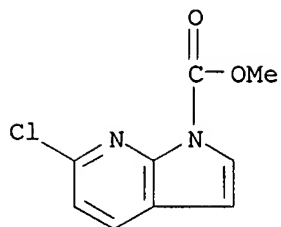
L6 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1992:571266 HCAPLUS  
 DOCUMENT NUMBER: 117:171266  
 TITLE: Regioselective functionalization of  
 1H-pyrrolo[2,3-b]pyridine via its N-oxide  
 AUTHOR(S): Minakata, Satoshi; Komatsu, Mitsuo; Ohshiro, Yoshiaki  
 CORPORATE SOURCE: Fac. Eng., Osaka Univ., Suita, 565, Japan  
 SOURCE: Synthesis (1992), (7), 661-3  
 CODEN: SYNTBF; ISSN: 0039-7881  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 117:171266  
 GI



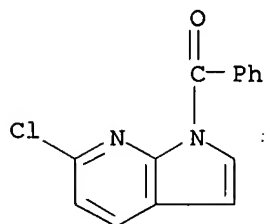
AB Selective functionalization of 1H-pyrrolo[2,3-b]pyridine (7-azaindole) at the 6-position was achieved by Reissert-Henze type reaction. Thus, the title oxide (I) was treated with BzCl and hexamethyldisilazane in THF to give 60% the benzoylbromopyrrolopyridine II (R = Bz), which was treated with 1 N NaOH in MeOH to give II (R = H). Similarly, other halo (Br, iodo), cyano and thiocyanato groups were directly introduced onto the pyridine ring of 7-azaindole.

IT 143468-07-9P 143468-11-5P 143468-12-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrolysis of)

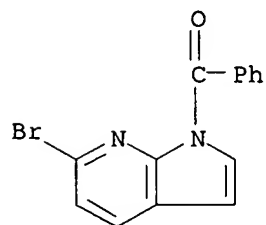
RN 143468-07-9 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 6-chloro-, methyl ester (9CI) (CA INDEX NAME)



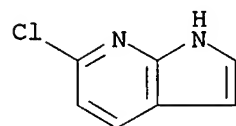
RN 143468-11-5 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 1-benzoyl-6-chloro- (9CI) (CA INDEX NAME)



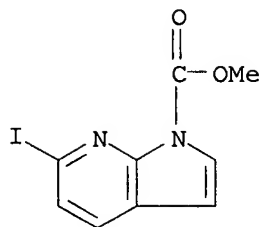
RN 143468-12-6 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 1-benzoyl-6-bromo- (9CI) (CA INDEX NAME)



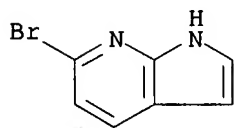
IT 55052-27-2P 143468-10-4P 143468-13-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 55052-27-2 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro- (9CI) (CA INDEX NAME)



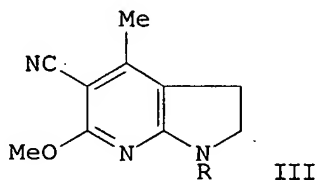
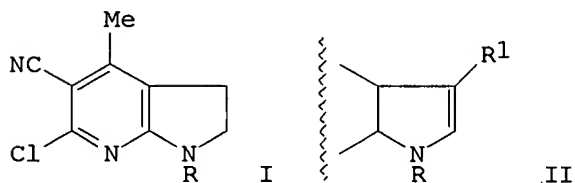
RN 143468-10-4 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 6-iodo-, methyl ester (9CI)  
(CA INDEX NAME)



RN 143468-13-7 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 6-bromo- (CA INDEX NAME)



L6 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1988:5881 HCAPLUS  
DOCUMENT NUMBER: 108:5881  
TITLE: Azaindole derivatives. 9. Reactions of  
5-cyano-7-chloro-7-azaindoles and lactam-lactim  
tautomerism of 5-cyano-6-hydroxy-7-azaindoles  
AUTHOR(S): Sycheva, T. V.; Rubtsov, N. M.; Sheinker, Yu. N.;  
Yakhontov, L. N.  
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow,  
119021, USSR  
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1987), (1),  
100-6  
CODEN: KGSSAQ; ISSN: 0453-8234  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
OTHER SOURCE(S): CASREACT 108:5881  
GI

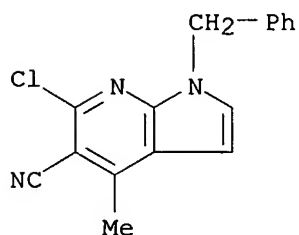


AB Oxidation of azaindoles I (R = PhCH<sub>2</sub>, Bu) by MnO<sub>2</sub> gave 71 and 66% azaindoles II (R<sub>1</sub> = H); methoxylation of I by NaOMe-MeOH gave 73 and 71% azaindoles III. Bromination II (R = PhCH<sub>2</sub>) gave 69% II (R<sub>1</sub> = Br), acetylation gave 74% II (R = Ac), and Mannich reactions with appropriate amines gave 30 and 24% II (R<sub>1</sub> = Me<sub>2</sub>NCH<sub>2</sub>, 4-methyl-1-piperazinylmethyl).

IT 111837-80-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and substitution reactions of)

RN 111837-80-0 HCAPLUS

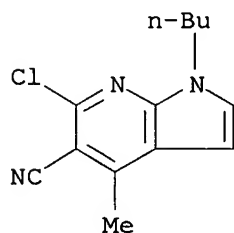
CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 6-chloro-4-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT 111837-81-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 111837-81-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 1-butyl-6-chloro-4-methyl- (9CI)  
 (CA INDEX NAME)



L6 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1980:568162 HCAPLUS

DOCUMENT NUMBER: 93:168162

TITLE: Synthesis of 4-amino-1H-pyrrolo[2,3-b]pyridine (1,7-dideazaadenine) and 1H-pyrrolo[2,3-b]pyridin-4-ol (1,7-dideazahypoxanthine)

AUTHOR(S): Schneller, Stewart W.; Luo, Jiann-Kuan

CORPORATE SOURCE: Dep. Chem., Univ. South Florida, Tampa, FL, 33620, USA

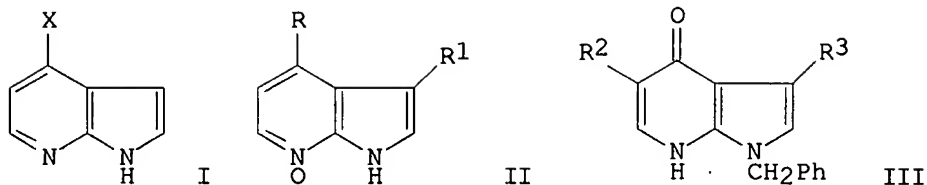
SOURCE: Journal of Organic Chemistry (1980), 45(20), 4045-8  
 CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 93:168162

GI

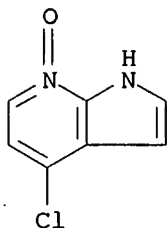


AB 4-Amino-1H-pyrrolo[2,3-b]pyridine (1,7-dideazaadenine) (I; X = NH<sub>2</sub>) was prepared by the Fe-HOAc reduction of 4-nitro-1H-pyrrolo[2,3-b]pyridine 7-oxide (II; R = NO<sub>2</sub>, R<sub>1</sub> = H), obtained by nitration of 1H-pyrrolo[2,3-b]pyridine-3-carboxamide 7-oxide (II; R = H, R<sub>1</sub> = CONH<sub>2</sub>). Other nitration reactions in the 1H-pyrrolo[2,3-b]pyridine 7-oxide series are disclosed. The preparation of 1H-pyrrolo[2,3-b]pyridin-4-ol (1,7-dideazahypoxanthine) (I; X = OH) began with the hydrolysis of Et 1-benzyl-3-cyano-4-oxo-4,7-dihydro-1H-pyrrolo[2,3-b]pyridine-5-carboxylate (III; R<sub>2</sub> = CO<sub>2</sub>Et, R<sub>3</sub> = CN) to the 3,5-dicarboxylic acid derivative (III; R<sub>2</sub> = R<sub>3</sub> = CO<sub>2</sub>H) of 1-benzyl-4-oxo-4,7-dihydro-1H-pyrrolo[2,3-b]pyridine. Decarboxylation of III (R<sub>2</sub> = R<sub>3</sub> = CO<sub>2</sub>H) with subsequent debenzylation formed I (X = OH).

IT 74420-03-4P 74420-04-5P 74420-05-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

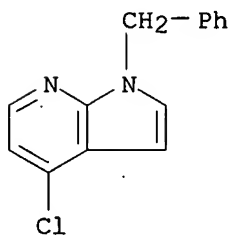
RN 74420-03-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-, 7-oxide (9CI) (CA INDEX NAME)



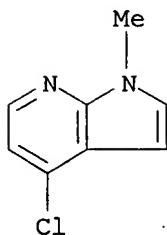
RN 74420-04-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

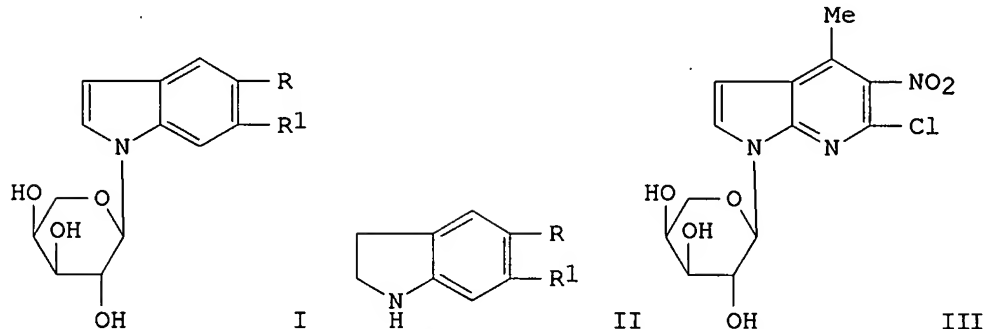


RN 74420-05-6 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-1-methyl- (9CI) (CA INDEX NAME)

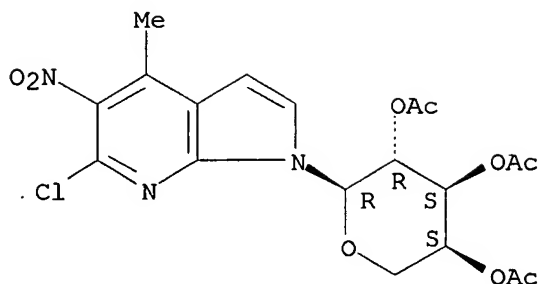


L6 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1980:6855 HCAPLUS  
 DOCUMENT NUMBER: 92:6855  
 TITLE: Synthesis and study of the biological activity of indole nucleosides. IV. Synthesis of 1- $\alpha$ -L-arabinopyranosides of substituted indoles and 7-azaindoles  
 AUTHOR(S): Mukhanov, V. I.; Sokolova, T. N.; Nikolaeva, T. G.; Dobrynin, Ya. V.; Preobrazhenskaya, M. N.  
 CORPORATE SOURCE: Onkol. Nauchn. Tsentr., Moscow, USSR  
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1979), 13(6), 47-57  
 CODEN: KHFZAN; ISSN: 0023-1134  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 GI



AB Indole nucleosides I (R = Ac, Br, R1 = H; R = Br, R1 = NO2) were obtained in 50.3-94.2% yields by glycosidation of II with L-arabinose, dehydrogenation with MnO<sub>2</sub>, followed by hydrolysis. Analogously obtained was 88.9% azaindole nucleoside III. I showed some cytostatic properties.  
 IT 72159-76-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrolysis of)  
 RN 72159-76-3 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-methyl-5-nitro-1-(2,3,4-tri-O-acetyl- $\alpha$ -L-arabinopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



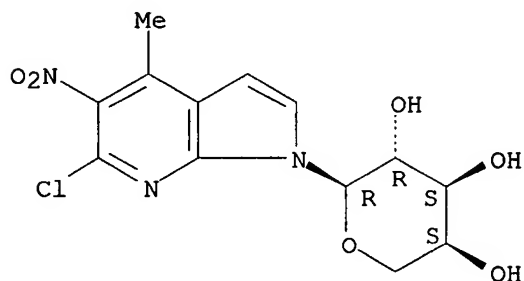
IT 72159-77-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 72159-77-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1- $\alpha$ -L-arabinopyranosyl-6-chloro-4-methyl-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1979:405132 HCAPLUS

DOCUMENT NUMBER: 91:5132

TITLE: Azaindole derivatives. 57. Dehydrogenation of substituted 5- and 7-azaindoles activated by manganese dioxide

AUTHOR(S): Azimov, V. A.; Krasnokutskaya, D. M.; Palant, I. N.; Yakhontov, L. N.

CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR  
SOURCE: Khimiya Geterotsiklicheskih Soedinenii (1979), (3), 375-8

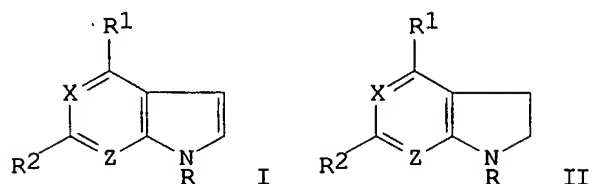
CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 91:5132

GI

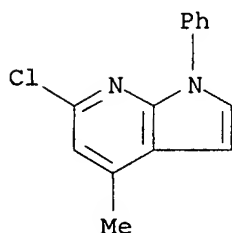


AB Azaindoles I (X = CH, N; Z = N, CH, CCN; R = Ph, H, Ac, PhCH<sub>2</sub>; R<sub>1</sub> = Me, H, R<sub>2</sub> = Cl, OH, H, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>O) were prepared by dehydrogenation of the corresponding II with activated MnO<sub>2</sub>. Oxidation-reduction potentials were determined

IT 5912-17-4P 70357-62-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

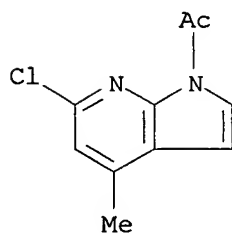
RN 5912-17-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-methyl-1-phenyl- (7CI, 8CI, 9CI)  
 (CA INDEX NAME)



RN 70357-62-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-acetyl-6-chloro-4-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1978:7279 HCAPLUS

DOCUMENT NUMBER: 88:7279

TITLE: Synthesis of 2,3-dioxo-2,3-dihydro-4-methyl-6-chloro-1H-pyrrolo[2,3-b]pyridine and its 1- $\alpha$ -L-arabinopyranoside

AUTHOR(S): Ektova, L. V.; Miniker, T. D.; Yartseva, I. V.; Preobrazhenskaya, M. N.

CORPORATE SOURCE: Onkol. Nauchn. Tsentr., Moscow, USSR

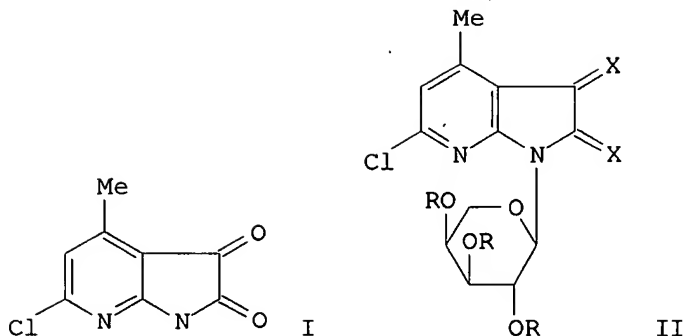
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1977), (8), 1083-6  
 CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI





AB The title compound I was obtained in 8.2% yield by oxidation of 4-methyl-6-chloro-7-azaindole with CrO<sub>3</sub>. Treatment of 4-methyl-6-chloro-7-azaindoline with L-arabinose gave II (X = H<sub>2</sub>, R = H) which was acetylated, dehydrogenated, and oxidized by CrO<sub>3</sub> to give 40% II (X = O, R = Ac).

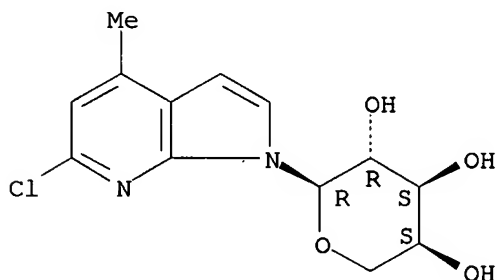
IT 64842-62-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 64842-62-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-α-L-arabinopyranosyl-6-chloro-4-methyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



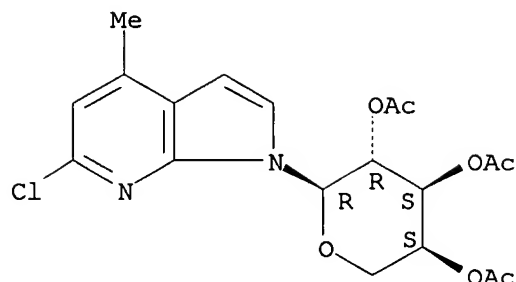
IT 64842-61-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation, hydrolysis, and oxidation of)

RN 64842-61-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-methyl-1-(2,3,4-tri-O-acetyl-α-L-arabinopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1975:72820 HCAPLUS

DOCUMENT NUMBER: 82:72820

TITLE: Diazaindenes (azaindoles). VI. Preparation and properties of 1,7-diazaindene 7-oxide and 6,7,8,9-tetrahydro- $\gamma$ -carboline 2-oxide

AUTHOR(S): Clark, Bernard A. J.; Parrick, John

CORPORATE SOURCE: Sch. Chem., Brunel Univ., Uxbridge, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1974), (19), 2270-4

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

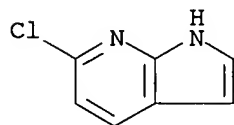
AB Oxidation of N-acetyl-1,7-diazaindene and -6,7,8,9,-tetrahydro- $\gamma$ -carboline gave oxides I and II, resp., which with Ac<sub>2</sub>O gave ketones III and IV, resp., after hydrolysis. I and II with POCl<sub>3</sub> followed by alkaline hydrolysis gave chloro compds. V and VI, resp. II with AgCN-BzCl and PhNCO in DMF gave carbonitrile VII and carboline VIII, resp.

IT 55052-27-2P 55052-28-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

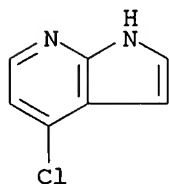
RN 55052-27-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro- (9CI) (CA INDEX NAME)



RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 14:05:42 ON 05 APR 2007)

FILE 'REGISTRY' ENTERED AT 14:05:58 ON 05 APR 2007

L1 STRUCTURE UPLOADED  
 L2 328 S L1 FUL  
 L3 STRUCTURE UPLOADED  
 L4 59 S L3 FUL

FILE 'HCAPLUS' ENTERED AT 14:07:22 ON 05 APR 2007

L5 94 S L2/P  
 L6 24 S L5 AND (OXID?)/AB,BI

=&gt; s 14/p

L7 32 L4/P

=&gt; s 17 and 15

L8 18 L7 AND L5

=&gt; s 18 1- ibib abs hitstr

MISSING OPERATOR L8 1-

The search profile that was entered contains terms or  
 nested terms that are not separated by a logical operator.

=&gt; d 18 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 18 ANSWERS - CONTINUE? Y/(N):y

L8 ANSWER 1 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:175696 HCAPLUS

DOCUMENT NUMBER: 146:251742

TITLE: Preparation of aryl and heteroaryl sulfonamides as CCR2 antagonists

INVENTOR(S): Ungashe, Solomon; Wei, Zheng; Basak, Arindrajit;  
 Charvat, Trevor T.; Chen, Wei; Jin, Jeff; Moore,  
 Jimmie; Zeng, Yibin; Punna, Sreenivas; Dairaghi,  
 Daniel; Hansen, Derek; Pennell, Andrew M. K.; Wright,  
 John J.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 263pp., Cont.-in-part of U.S.  
Ser. No. 332,786.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

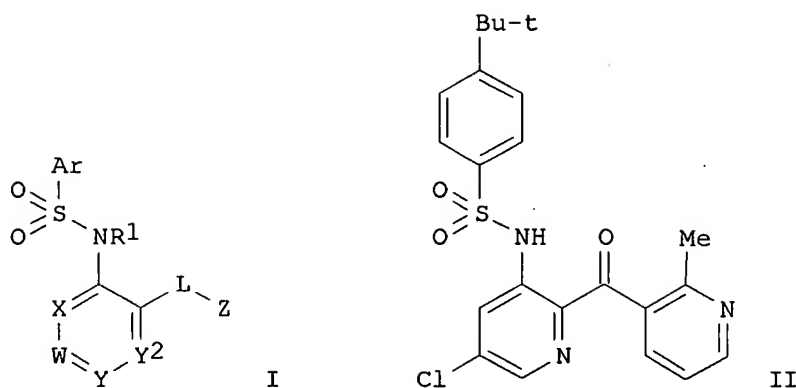
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007037794	A1	20070215	US 2006-486974	20060714
US 2006173019	A1	20060803	US 2006-332786	20060113
PRIORITY APPLN. INFO.:			US 2005-644103P	P 20050114
			US 2005-742821P	P 20051206
			US 2005-750985P	P 20051216
			US 2006-332786	A2 20060113

OTHER SOURCE(S): MARPAT 146:251742

GI

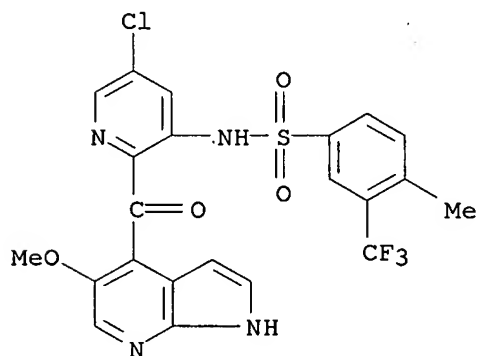


AB Title compds. I [Ar = (un)substituted aryl or heteroaryl; R1 = H, (un)substituted alkyl, alkenyl, etc.; X, W, and Y independently = CR2, N, and N(=O), where each occurrence of R2 independently = CN, CHO, CO2H, alkylcarbonyl, etc.; Y2 = N or N(=O); L = bond, O, S, SO, etc.; Z = (un)substituted aryl, heteroaryl, heterocyclyl, etc.], are prepared and disclosed as potent antagonists of the CCR2 receptor. Thus, reduction of 2-bromo-5-chloro-3-nitropyridine, reaction of the amine with 4-tert-butylbenzenesulfonyl chloride, alkylation with chloromethyl Me ether and treatment with N-methoxy-2-methyl-N-methylnicotinamide gave sulfonamide II. Numerous compds. of the invention demonstrated IC50 values < 500 nM in assays for CCR2 activity. Animal testing demonstrates that these compds. are useful for treating inflammation, a hallmark disease for CCR2. The compds. are generally aryl sulfonamide derivs. and are useful in pharmaceutical compns., methods for the treatment of CCR2-mediated diseases, and as controls in assays for the identification of CCR2 antagonists.

IT 926004-72-0P, N-[5-Chloro-2-[(5-methoxy-1H-pyrrolo[2,3-b]pyridin-4-yl)carbonyl]pyridin-3-yl]-4-methyl-3-trifluoromethylbenzenesulfonamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of heteroaryl sulfonamides as CCR2 receptor antagonists)

RN 926004-72-0 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



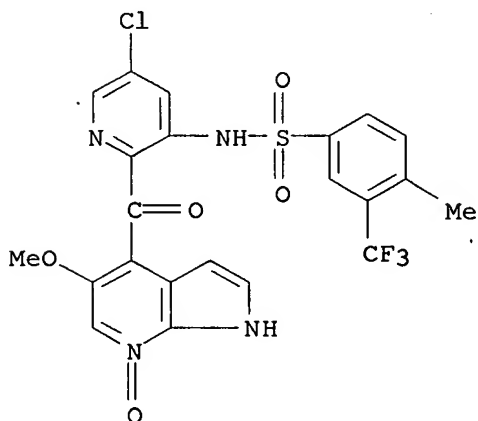
IT 926004-79-7P, N-[5-Chloro-2-[(7-oxo-5-methoxy-1H-pyrrolo[2,3-b]pyridin-4-yl)carbonyl]pyridin-3-yl]-4-methyl-3-trifluoromethylbenzenesulfonamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(drug candidate; preparation of heteroaryl sulfonamides as CCR2 receptor antagonists)

RN 926004-79-7 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



IT 685513-98-8P 926004-73-1P 926004-74-2P

926004-75-3P 926004-76-4P 926004-77-5P

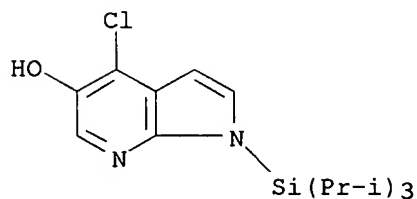
926004-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate; preparation of heteroaryl sulfonamides as CCR2 receptor antagonists)

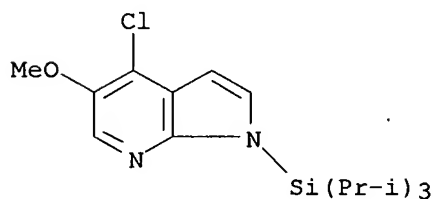
RN 685513-98-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-5-ol, 4-chloro-1-[tris(1-methylethyl)silyl]-  
(9CI) (CA INDEX NAME)



RN 926004-73-1 HCAPLUS

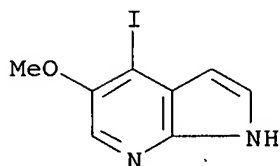
CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-5-methoxy-1-[tris(1-methylethyl)silyl]-  
(CA INDEX NAME)



RN 926004-74-2 HCAPLUS

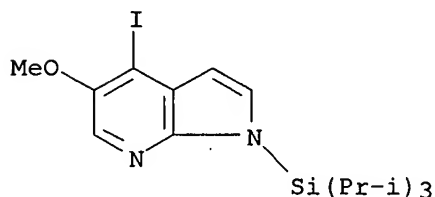
10/ 502,538

CN 1H-Pyrrolo[2,3-b]pyridine, 4-iodo-5-methoxy- (CA INDEX NAME)



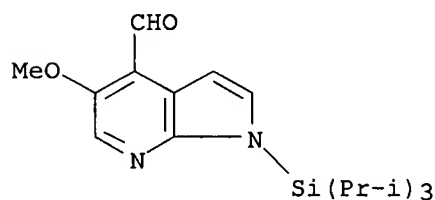
RN 926004-75-3 HCAPLUS

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(CA INDEX NAME)



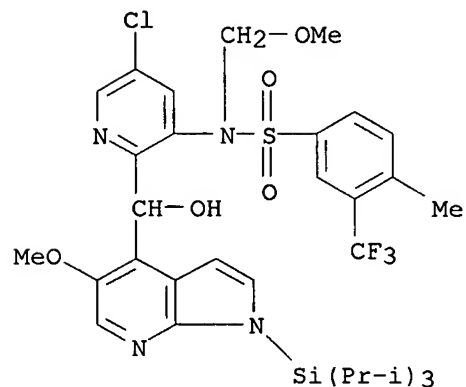
RN 926004-76-4 HCAPLUS

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RN 926004-77-5 HCAPLUS

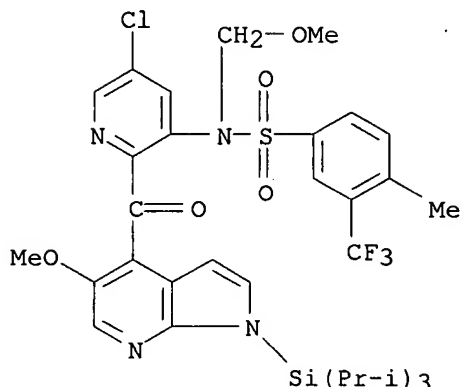
CN INDEX NAME NOT YET ASSIGNED



RN 926004-78-6 HCAPLUS

CN Benzenesulfonamide, N-[5-chloro-2-[[5-methoxy-1-[tris(1-methylethyl)silyl]-

1H-pyrrolo[2,3-b]pyridin-4-yl]carbonyl]-3-pyridinyl]-N-(methoxymethyl)-4-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



L8 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:11341 HCAPLUS

DOCUMENT NUMBER: 146:121941

TITLE: Pyrrolo[2,3-b]pyridine derivatives as protein kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Ibrahim, Prabha N.; Artis, Dean R.; Bremer, Ryan; Habets, Gaston; Mamo, Shumeye; Nespi, Marika; Zhang, Chao; Zhang, Jiazhong; Zhu, Yong-Liang; Zuckerman, Rebecca; West, Brian; Suzuki, Yoshihisa; Tsai, James; Hirth, Klaus-Peter; Bollag, Gideon; Spevak, Wayne; Cho, Hanna; Gillette, Samuel J.; Wu, Guoxian; Zhu, Hongyao; Shi, Shenghua

PATENT ASSIGNEE(S): Plexxikon, Inc., USA

SOURCE: PCT Int. Appl., 631 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002433	A1	20070104	WO 2006-US24524	20060621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

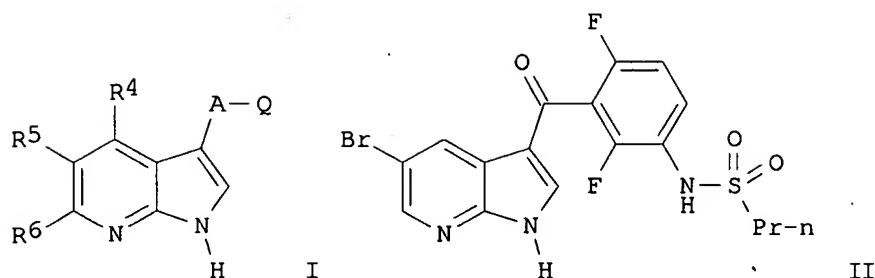
PRIORITY APPLN. INFO.:

US 2005-692960P P 20050622

US 2005-731528P P 20051028

OTHER SOURCE(S): MARPAT 146:121941

GI



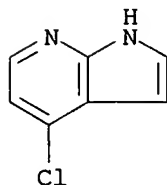
AB Compds. of formula I which are active on protein kinases are described, as well as methods of using such compds. to treat diseases and conditions associated with aberrant activity of protein kinases. Compds. of formula I wherein Q is (un)substituted aryl, (un)substituted indole, (un)substituted heteroaryl, etc.; A is O, S, (un)substituted methylene, NH and derivs., CO, CS, SO and SO<sub>2</sub>; R<sub>4</sub> - R<sub>6</sub> is H, halo, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted alkynyl, (un)substituted (hetero)cycloalkyl, and (un)substituted (hetero)aryl; and their pharmaceutically acceptable salts, prodrugs, tautomers, and isomers thereof, are claimed. Example compound II was prepared by carboxylation of 2,4-difluoroaniline with benzyl chloroformate; the resulting benzyl 3-amino-2,6-difluorobenzoate underwent sulfonylation with propane-1-sulfonyl chloride to give benzyl 2,6-difluoro-3-(propylsulfonylamino)benzoate, which underwent hydrogenation to give the corresponding benzoic acid, which underwent chlorination, to give the corresponding acid chloride, which underwent reaction with 5-bromo-7-azaindole to give compound II. All the invention compds. were evaluated for their protein kinase inhibitory activity. Several of the tested compds. exhibited good protein kinase inhibitory activity against several kinases.

IT 55052-28-3P 183208-35-7P 866319-00-8P  
866546-07-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)

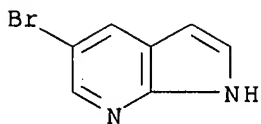


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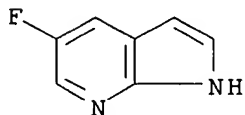
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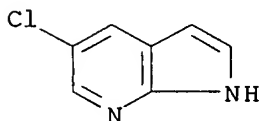
10/ 502,538



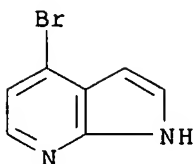
RN 866319-00-8 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-fluoro- (9CI) (CA INDEX NAME)



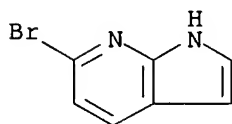
RN 866546-07-8 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro- (CA INDEX NAME)



IT 348640-06-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(drug candidate; preparation of pyrrolopyridine derivs. as protein kinase  
inhibitors useful in treatment of diseases)  
RN 348640-06-2 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)

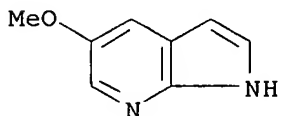


IT 143468-13-7P 183208-36-8P 858116-66-2P  
918523-59-8P 918523-68-9P 918523-69-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of pyrrolopyridine derivs. as protein kinase  
inhibitors useful in treatment of diseases)  
RN 143468-13-7 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 6-bromo- (CA INDEX NAME)

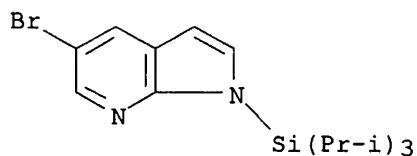


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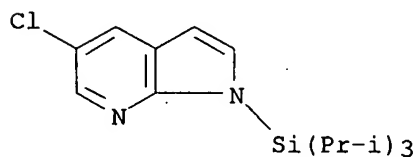
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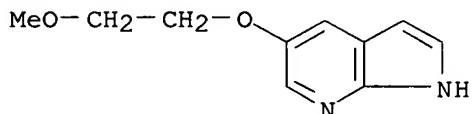
RN 858116-66-2 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-[tris(1-methylethyl)silyl]- (CA INDEX NAME)



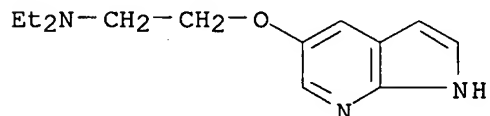
RN 918523-59-8 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-1-[tris(1-methylethyl)silyl]- (CA INDEX NAME)



RN 918523-68-9 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-(2-methoxyethoxy)- (CA INDEX NAME)



RN 918523-69-0 HCAPLUS  
CN Ethanamine, N,N-diethyl-2-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2007:11300 HCAPLUS  
DOCUMENT NUMBER: 146:142627

TITLE: Pyrrolo[2,3-b]pyridine derivatives as protein kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Ibrahim, Prahbha N.; Artis, Dean R.; Bremer, Ryan; Mamo, Shumeye; Nespi, Marika; Zhang, Chao; Zhang, Jiazhong; Zhu, Yong-Liang; Tsai, James; Hirth, Klaus-Peter; Bollag, Gideon; Spevak, Wayne; Cho, Hanna; Gillette, Samuel J.; Wu, Guoxiam; Zhu, Hongyao; Shi, Shenghua

PATENT ASSIGNEE(S): Plexxikon, Inc., USA

SOURCE: PCT Int. Appl., 291 pp.  
CODEN: PIXXD2

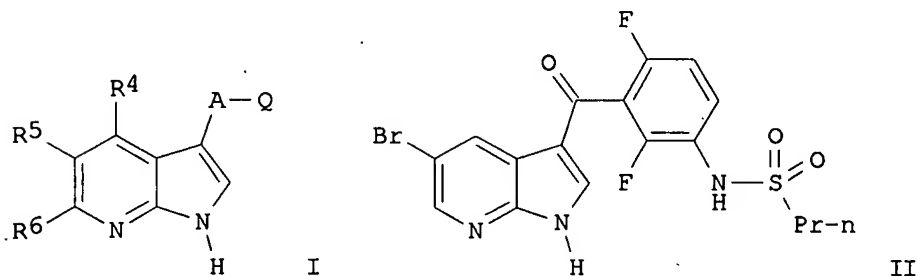
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002325	A1	20070104	WO 2006-US24361	20060621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2005-692960P	P 20050622
			US 2005-731528P	P 20051028
OTHER SOURCE(S):		MARPAT 146:142627		
GI				



AB Compds. of formula I which are active on protein kinases are described, as well as methods of using such compds. to treat diseases and conditions associated with aberrant activity of protein kinases. Compds. of formula I wherein Q is (un)substituted (hetero)aryl, and (un)substituted indole; A is O, S, (un)substituted methylene, NH and derivs., CO, CS, SO and SO<sub>2</sub>; R<sup>4</sup> - R<sup>6</sup> are independently H, halo, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkynyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl, etc.;

and their pharmaceutically acceptable salts, prodrugs, tautomer, and isomers thereof, are claimed. Example compound II was prepared by carboxylation of 2,4-difluoroaniline with benzyl chloroformate; the resulting benzyl 3-amino-2,6-difluorobenzoate underwent sulfonylation with propane-1-sulfonyl chloride to give benzyl 2,6-difluoro-3-(propylsulfonylamino)benzoate, which underwent hydrolysis to give the corresponding benzoic acid, which underwent chlorination and coupling with 5-bromo-7-azaindole to give compound II. All the invention compds. were evaluated for their protein kinase inhibitory activity. Several of the invention compds. exhibited good inhibitory activity against various protein kinases.

IT 183208-36-8P 858116-66-2P 866319-00-8P

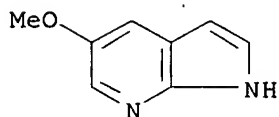
866546-07-8P 918523-59-8P 918523-68-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

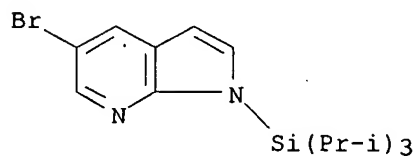
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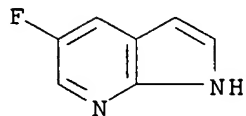
RN 858116-66-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-[tris(1-methylethyl)silyl]- (CA INDEX NAME)



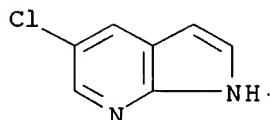
RN 866319-00-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-fluoro- (9CI) (CA INDEX NAME)



RN 866546-07-8 HCAPLUS

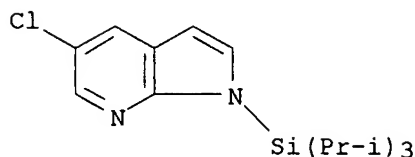
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RN 918523-59-8 HCAPLUS

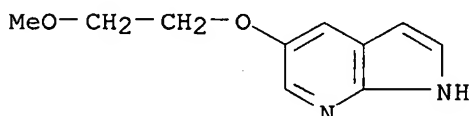
CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-1-[tris(1-methylethyl)silyl]- (CA

INDEX NAME)



RN 918523-68-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-(2-methoxyethoxy)- (CA INDEX NAME)



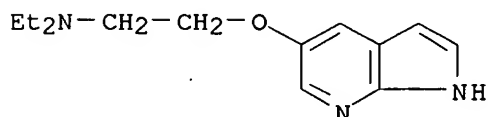
IT 918523-69-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(starting material, intermediate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 918523-69-0 HCAPLUS

CN Ethanamine, N,N-diethyl-2-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)- (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1286251 HCAPLUS

DOCUMENT NUMBER: 146:45727

TITLE: Preparation of novel multicyclic compounds and their amino acid derivatives as inhibitors of enzymes such as poly(ADP-ribose) polymerase

INVENTOR(S): Chatterjee, Sankar; Diebold, James L.; Dunn, Derek; Hudkins, Robert L.; Dandu, Reddeppareddy; Wells, Gregory J.; Zulli, Allison L.

PATENT ASSIGNEE(S): Cephalon, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 93pp., Cont.-in-part of U.S. Ser. No. 850,858.

CODEN: USXXCO

DOCUMENT TYPE: Patent

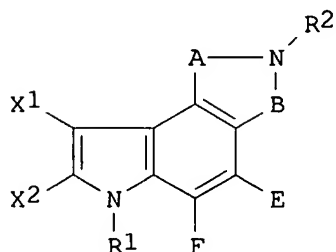
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

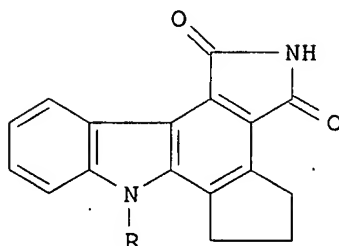
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006276497	A1	20061207	US 2006-455356	20060619
US 2002028815	A1	20020307	US 2001-850858	20010508

US 7122679 B2 20061017  
 AT 315039 T 20060215 AT 2001-935215 20010509  
 ES 2256238 T3 20060716 ES 2001-1935215 20010509  
 EP 1754707 A2 20070221 EP 2005-76862 20010509  
 EP 1754707 A3 20070228  
 R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,  
 NL, PT, SE, TR  
 ZA 2002009065 A 20040209 ZA 2002-9065 20021107  
 PRIORITY APPLN. INFO.: US 2000-202947P P 20000509  
 US 2001-850858 A2 20010508  
 EP 2001-935215 A3 20010509  
 OTHER SOURCE(S): MARPAT 146:45727  
 GI



I



II

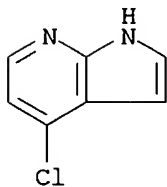
- AB The title compds. such as pyrrolo[3,4-c]carbazoles, furo[3,2-a]pyrrolo[3,4-c]carbazoles, 4,5,6,7-tetrahydro-1H-cyclopenta[a]pyrrolo[3,4-c]carbazoles, 4,5,6,7-tetrahydro-1H-cyclopenta[g]pyrido[2,3-b]pyrrolo[3,4-e]indoles derivs. [I; A, B = CO, CH(OR3), CH(SR3), CH2, CHR3, CHR3CHR4, CR3R4, CONR3, SO, SO2; R3, R4 = independently H, lower alkyl; ECCF = C4 to C7 cycloalkyl optionally substituted with at least one substituent J; J = independently at each occurrence J3-(J2)n-(J1)m; n, m = independently 0-1; J1, J2 = independently aryl/lower alkyl/carbonyl; carbonyloxy, amino, guanidino, (un)protected amino acid, etc.; J3 = H, halo, OH, SH, CN, NO2, lower alkyl, aryloxy, carbonyl, etc.; R1, R2 = independently H, formyl, acetyl, lower alkylsulfonyl, (un)substituted lower alkyl, lower alkanoyl, etc.; X1CCX2 = (un)substituted hetero/aryl] are prepared I are effective in the treatment of diseases or disease states related to the activity of enzymes such as poly(ADP-ribose) polymerase (PARP), vascular endothelial growth factor receptor kinase (VEGFR2 kinase), and MLK3 kinase (a member of the mixed lineage kinase family), including, for example, traumatic central nervous system injuries, neurodegenerative diseases (in particular Parkinson's, Huntington's, or Alzheimer's disease), inflammation, cerebral or cardiac ischemia, endotoxic shock, diabetes, or cellular proliferative disorders (in particular cancer, solid tumors, diabetic retinopathy, intraocular neovascular syndromes, macular degeneration, rheumatoid arthritis, psoriasis, or endometriosis). I also suppress the formation of blood vessels (angiogenesis) and prevent neuronal degradation associated with traumatic central nervous system injuries. Thus, 2H-1,3,4,5,6,7-hexahydrocyclopenta[a]pyrrolo[3,4-c]carbazole-1,3-dione (II; R = H) (preparation given) was treated with NaH in DMF at room temperature for 30 min and reacted with a stirred mixture of Boc-Lys(Boc)-OH dicyclohexylamine salt, TBTU, N-Methylmorpholine, and DMF at room temperature for 1 h, followed by treatment of the product with 4 N HCl in dioxane to give II (R = H-Lys). II (R = H-Lys) showed IC50 of 22 nM against PARP.
- IT 55052-28-3P, 4-Chloro-7-azaindole 122379-63-9P, 4-Methoxy-7-azaindole 916574-87-3P, 1-Phenylsulfonyl-4-methoxy-7-azaindole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel multicyclic compds. and their amino acid derivs. as inhibitors of enzymes for treatment of diseases related to enzymes such as poly(ADP-ribose) polymerase, VEGFR2 kinase, and MLK3 kinase)

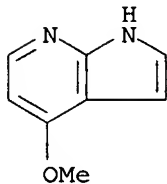
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



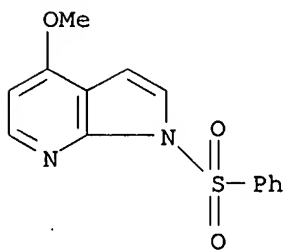
RN 122379-63-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-methoxy- (CA INDEX NAME)



RN 916574-87-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-methoxy-1-(phenylsulfonyl)- (CA INDEX NAME)



L8 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1106239 HCAPLUS

DOCUMENT NUMBER: 146:62508

TITLE: Synthesis of 7-Azaserotonin: Its Photophysical Properties Associated with Excited State Proton Transfer Reaction

AUTHOR(S): Wu, Pei-Wen; Hsieh, Wan-Ting; Cheng, Yi-Ming; Wei, Ching-Yen; Chou, Pi-Tai

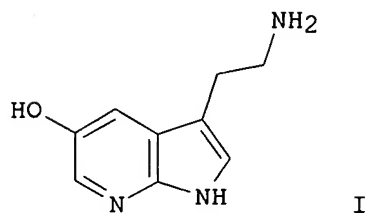
CORPORATE SOURCE: Department of Chemistry, National Taiwan University, Taipei, 106, Taiwan

SOURCE: Journal of the American Chemical Society (2006), 128(45), 14426-14427

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

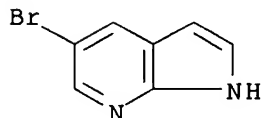
DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 146:62508  
 GI



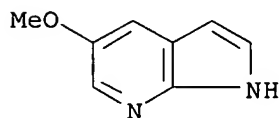
AB The synthesis of 3-(2-aminoethyl)-5-ol-1H-pyrrolo[2,3-b]pyridine I (7-azaserotonin), which may potentially serve as an agonist or antagonist of serotonin receptors (no data), is reported. In alcs., the solvent (e.g., ethanol) catalyzed proton-transfer reaction takes place for I in the excited state, resulting in dual emission. Conversely, excited-state deprotonation takes place in neutral aqueous solution. The unique excitation behavior makes 7-azaserotonin versatile as a potential bioprobe.

IT 183208-35-7P 183208-36-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 7-azaserotonin and its photophys. properties associated with excited state proton transfer reaction)

RN 183208-35-7 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RN 183208-36-8 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy- (CA INDEX NAME)



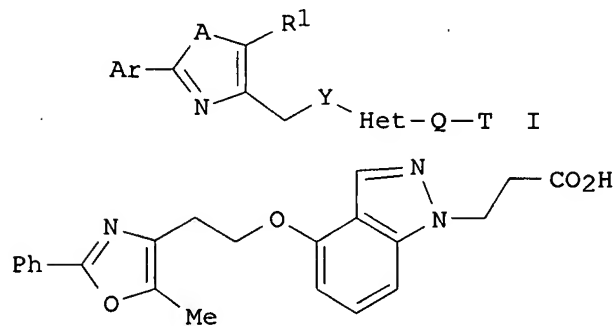
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:718537 HCAPLUS  
 DOCUMENT NUMBER: 141:225495  
 TITLE: Preparation of oxazole derivatives as PPAR agonists  
 INVENTOR(S): Su, Wei-Guo; Zehnder, Luke Raymond; Skalitzky, Donald James  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: PCT Int. Appl., 76 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent



LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074284	A1	20040902	WO 2004-IB338	20040209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2516475	A1	20040902	CA 2004-2516475	20040209
EP 1597257	A1	20051123	EP 2004-709295	20040209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007735	A	20060214	BR 2004-7735	20040209
JP 2006518366	T	20060810	JP 2006-502422	20040209
US 2004209929	A1	20041021	US 2004-783654	20040219
NL 1025542	A1	20040824	NL 2004-1025542	20040220
NL 1025542	C2	20051011		
PRIORITY APPLN. INFO.:			US 2003-448931P	P 20030221
			WO 2004-IB338	W 20040209
OTHER SOURCE(S):		MARPAT 141:225495		
GI				



II

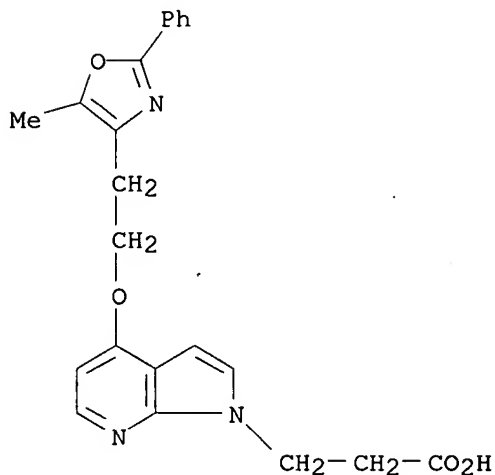
AB The title compds. I [wherein Ar = (un)substituted (hetero)cyclyl or (hetero)aryl; A = CH<sub>2</sub>, NH, O, or S; R<sub>1</sub> = (un)substituted alkyl, cycloalkyl, heterocyclyl, or (hetero)aryl; Y = -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>NR<sub>15</sub>-, -(CH<sub>2</sub>)<sub>n</sub>O-, or -(CH<sub>2</sub>)<sub>n</sub>S-; n = 0-3; R<sub>15</sub> = H, alkyl, cyclyalkyl, etc.; Het = (un)substituted heteroaryl; Q = (un)substituted alkylene, etc.; T = thiazolidinyl, tetrazolyl, (un)substituted CO<sub>2</sub>H, etc.] or pharmaceutically acceptable salts thereof are prepared for treating peroxisome proliferator-activated receptors (PPAR) related disorders, such as diabetes, dyslipidemia, obesity, and inflammatory disorders. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I inhibited human PPAR with K<sub>i</sub> of <1 μM.

IT 748154-22-5P 748154-23-6P 748154-24-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of oxazole derivs. as PPAR agonists)

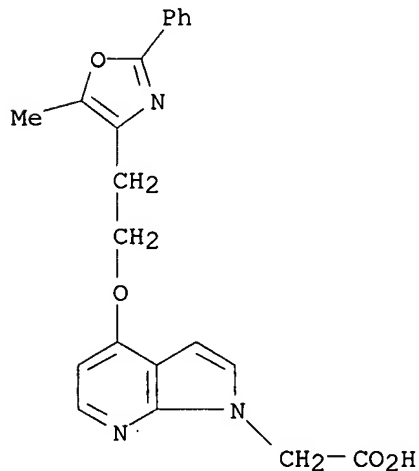
RN 748154-22-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-1-propanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (9CI) (CA INDEX NAME)



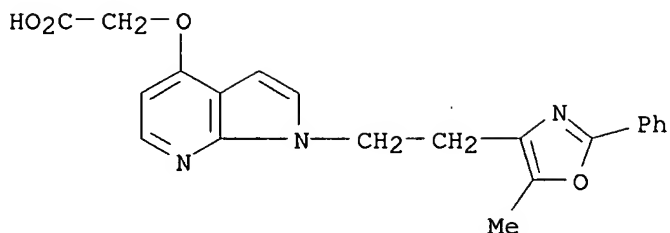
RN 748154-23-6 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-1-acetic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (9CI) (CA INDEX NAME)

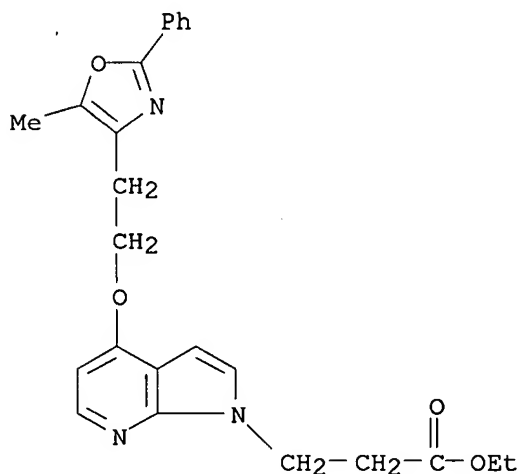


RN 748154-24-7 HCAPLUS

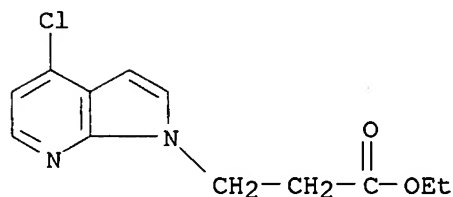
CN Acetic acid, [[1-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]oxy]- (9CI) (CA INDEX NAME)



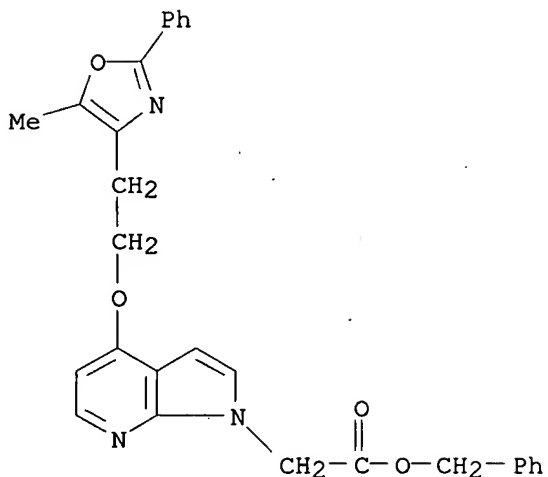
IT 748154-43-0P 748154-44-1P 748154-45-2P  
 748154-46-3P 748154-47-4P 748154-48-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (intermediate; preparation of oxazole derivs. as PPAR agonists)  
 RN 748154-43-0 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine-1-propanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 748154-44-1 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine-1-propanoic acid, 4-chloro-, ethyl ester (9CI)  
 (CA INDEX NAME)

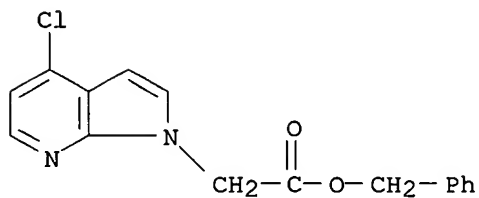


RN 748154-45-2 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine-1-acetic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)



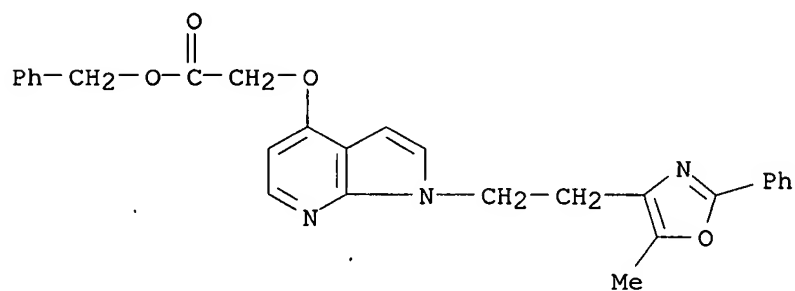
RN 748154-46-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-1-acetic acid, 4-chloro-, phenylmethyl ester (9CI) (CA INDEX NAME)



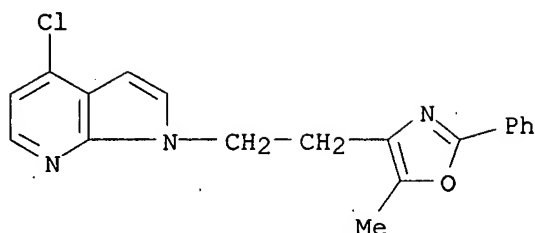
RN 748154-47-4 HCAPLUS

CN Acetic acid, [[1-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]oxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 748154-48-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-1-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:80698 HCAPLUS

DOCUMENT NUMBER: 140:146173

TITLE: Preparation of pyrrolotriazines as selective VEGFR-2 and FGFR-1 kinase inhibitors for treatment of proliferative diseases

INVENTOR(S): Bhide, Rajeev; Ruel, Rejean; Thibeault, Carl; L'heureux, Alexandre

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

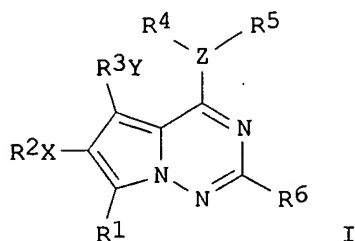
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009601	A1	20040129	WO 2003-US22554	20030718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492665	A1	20040129	CA 2003-2492665	20030718
AU 2003254017	A1	20040209	AU 2003-254017	20030718
US 2004063707	A1	20040401	US 2003-622593	20030718
US 6969717	B2	20051129		
US 2004072832	A1	20040415	US 2003-623171	20030718
US 6869952	B2	20050322		
EP 1539763	A1	20050615	EP 2003-765754	20030718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1681818	A	20051012	CN 2003-821820	20030718
CN 1681508	A	20051012	CN 2003-821915	20030718
JP 2005538990	T	20051222	JP 2004-523591	20030718
CN 1903840	A	20070131	CN 2006-10115789	20030721
US 2005124621	A1	20050609	US 2005-35248	20050113
NO 2005000417	A	20050217	NO 2005-417	20050125
US 2006058304	A1	20060316	US 2005-214267	20050829
PRIORITY APPLN. INFO.:				
			US 2002-397256P	P 20020719
			US 2003-447213P	P 20030213

US 2003-622280	A 20030718
US 2003-622593	A3 20030718
US 2003-623171	A1 20030718
WO 2003-US22554	W 20030718
CN 2003-816201	A3 20030721

OTHER SOURCE(S): MARPAT 140:146173  
GI



AB Title compds. I [Z = O, S, N, etc.; X, Y = O, OCO, S, etc.; R1 = H, CH3, OH, etc.; R2, R3 = H, (un)substituted alkyl, alkenyl etc.; R4 = (un)substituted 7-azaindolyl, e.g., F, Cl, Me; R5 = H, absent when Z = O, S; R6 = H, (un)substituted alkyl, aryl, etc.] and their pharmaceutically acceptable salts were prepared. For example, electrophilic substitution of compound I [R1 = H; R2X = benzyloxy; R3Y = CH3; ZR5R6 = Cl] with 4-fluoro-5-hydroxy-7-azaindole, e.g., prepared from 4-chloro-1H-pyrrolo[2,3-b]pyridine in 6-steps, afforded compound I [R1 = H; R2X = benzyloxy; R3Y = CH3; ZR5R6 = 4-fluoro-7-azaindol-5-yloxy] in 80% yield. In VEGFR-2 and FGFR-1 kinase assays, 38-examples of compds. I exhibited IC50 values ranging from 0.001-10  $\mu$ M. Of note, pyrrolotriazines I exhibited selective VEGFR-2 and FGFR-1 kinase inhibition (no data provided). Compds. I are claimed useful for the treatment of cancer, inflammation, autoimmune diseases.

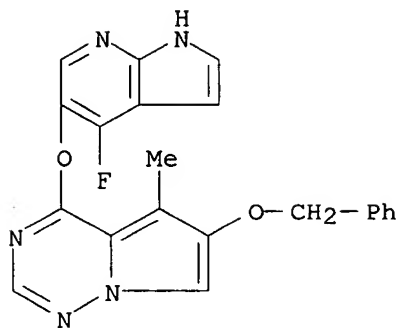
IT 651743-86-1P 651743-87-2P 651743-88-3P  
651743-89-4P 651743-92-9P 651743-93-0P  
651743-94-1P 651743-96-3P 651743-97-4P  
651743-99-6P 651744-01-3P 651744-02-4P  
651744-03-5P 651744-05-7P 651744-06-8P  
651744-09-1P 651744-10-4P 651744-11-5P  
651744-12-6P 651744-52-4P 651744-55-7P  
651744-56-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolotriazines as selective VEGFR-2 and FGFR-1 kinase inhibitors for treatment of proliferative diseases)

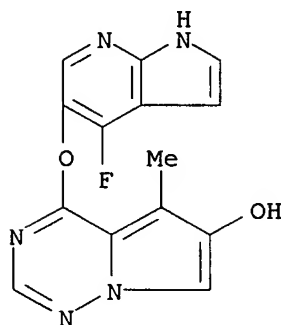
RN 651743-86-1 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazine, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 651743-87-2 HCAPLUS

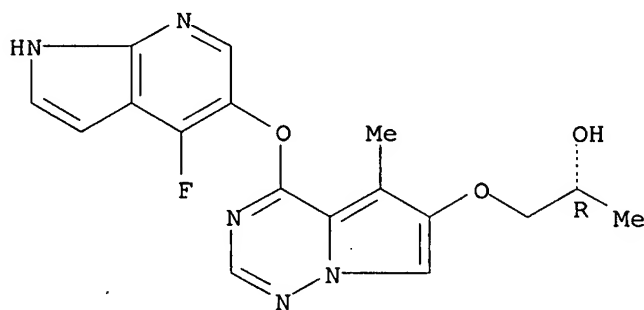
CN Pyrrolo[2,1-f][1,2,4]triazin-6-ol, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl- (9CI) (CA INDEX NAME)



RN 651743-88-3 HCAPLUS

CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-, (2R)- (9CI) (CA INDEX NAME)

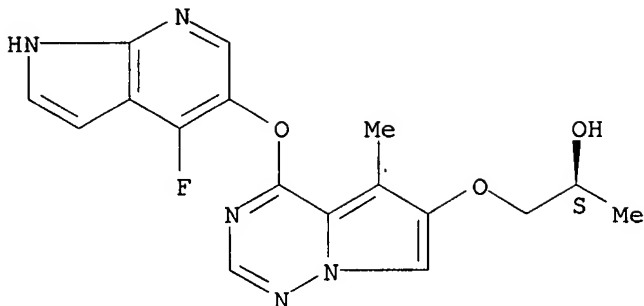
Absolute stereochemistry.



RN 651743-89-4 HCAPLUS

CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-, (2S)- (9CI) (CA INDEX NAME)

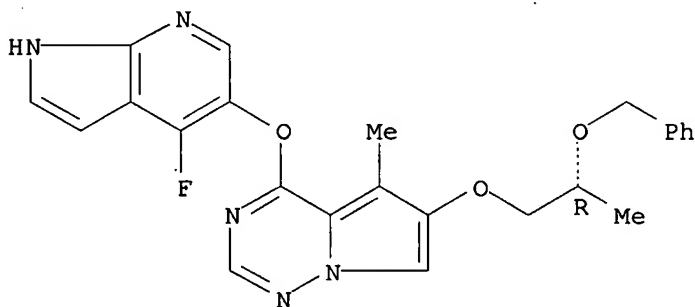
Absolute stereochemistry.



RN 651743-92-9 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazine, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl-6-[(2R)-2-(phenylmethoxy)propoxy]- (9CI) (CA INDEX NAME)

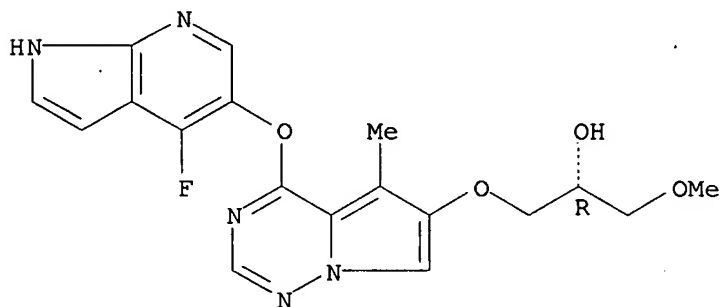
Absolute stereochemistry.



RN 651743-93-0 HCAPLUS

CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-3-methoxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

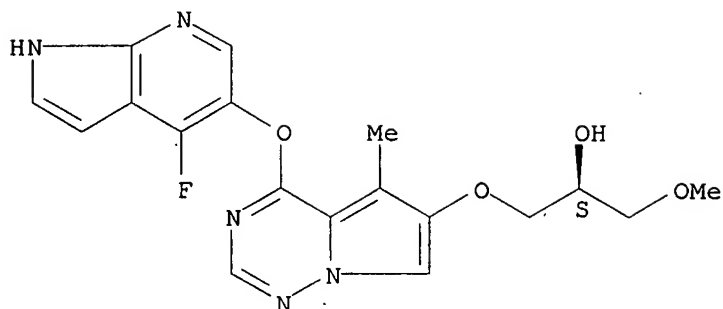


RN 651743-94-1 HCAPLUS

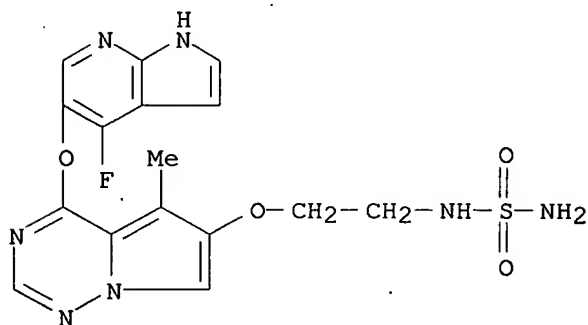
CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-3-methoxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

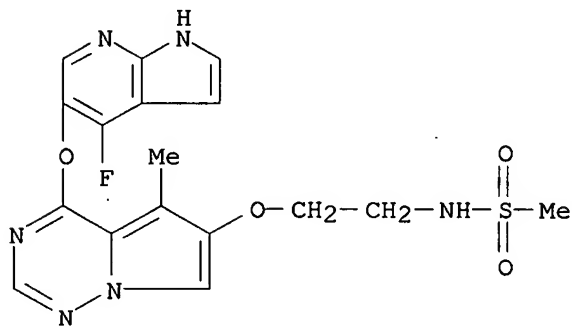




RN 651743-96-3 HCAPLUS  
 CN Sulfamide, [2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)

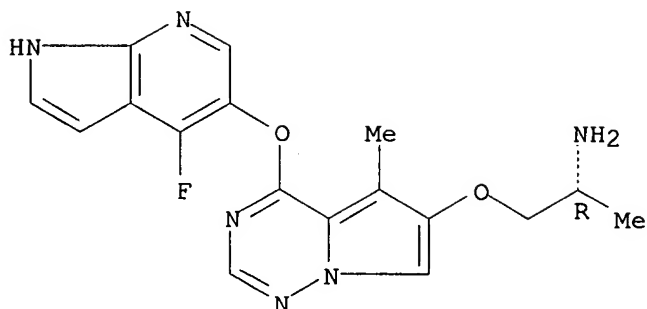


RN 651743-97-4 HCAPLUS  
 CN Methanesulfonamide, N-[2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)



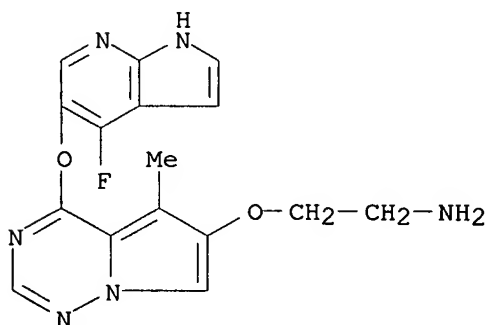
RN 651743-99-6 HCAPLUS  
 CN 2-Propanamine, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



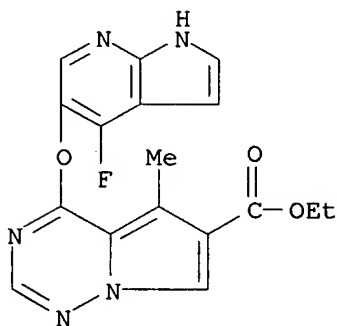
RN 651744-01-3 HCAPLUS

CN Ethanamine, 2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]- (9CI) (CA INDEX NAME)



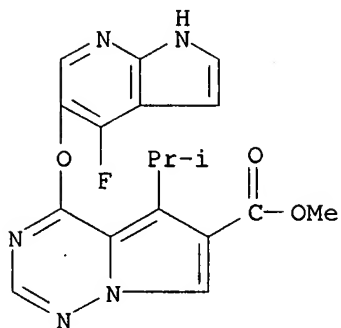
RN 651744-02-4 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazine-6-carboxylic acid, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



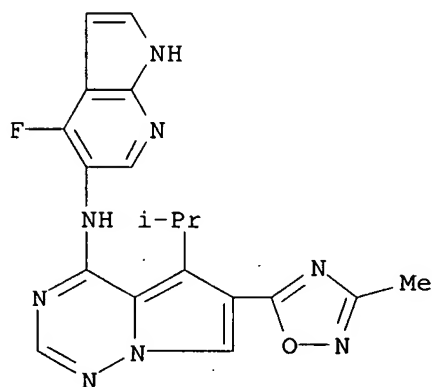
RN 651744-03-5 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazine-6-carboxylic acid, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-(1-methylethyl)-, methyl ester (9CI) (CA INDEX NAME)



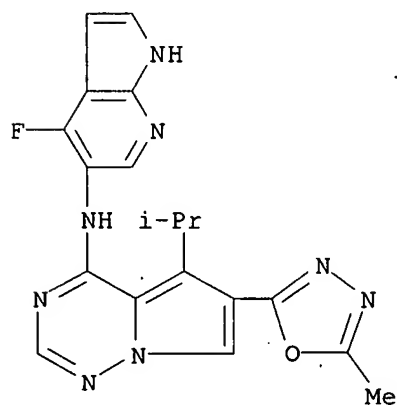
RN 651744-05-7 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-(1-methylethyl)-6-(3-methyl-1,2,4-oxadiazol-5-yl)- (9CI) (CA INDEX NAME)



RN 651744-06-8 HCAPLUS

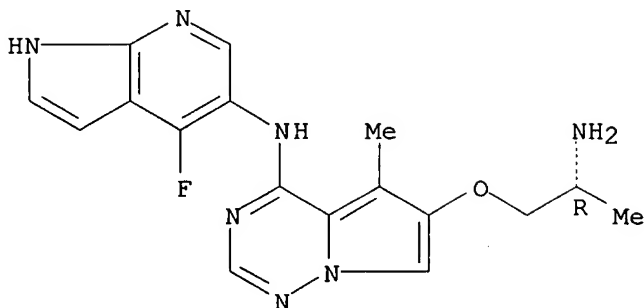
CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-(1-methylethyl)-6-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)



RN 651744-09-1 HCAPLUS

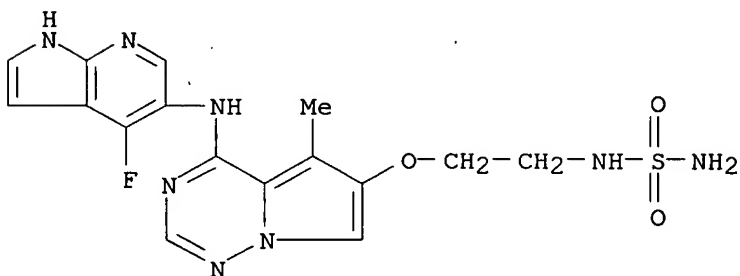
CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, 6-[(2R)-2-aminopropoxy]-N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651744-10-4 HCAPLUS

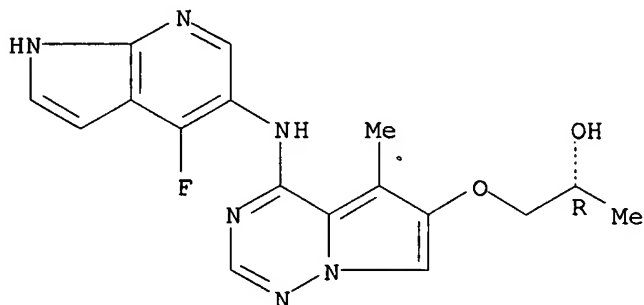
CN Sulfamide, [2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)amino]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 651744-11-5 HCAPLUS

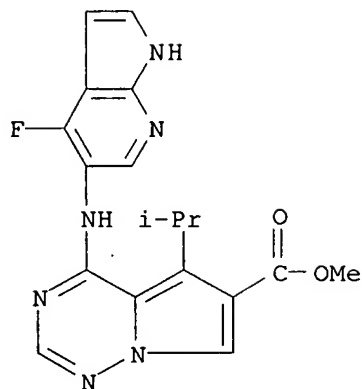
CN 2-Propanol, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)amino]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651744-12-6 HCAPLUS

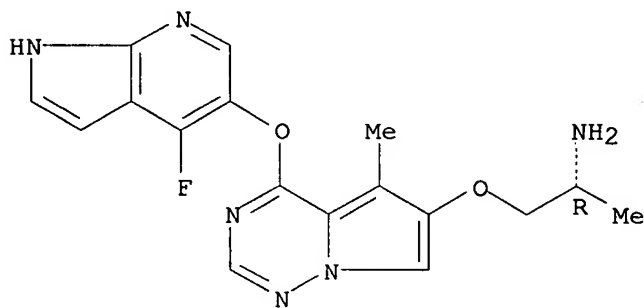
CN Pyrrolo[2,1-f][1,2,4]triazine-6-carboxylic acid, 4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)amino]-5-(1-methylethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 651744-52-4 HCAPLUS

CN 2-Propanamine, 1-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

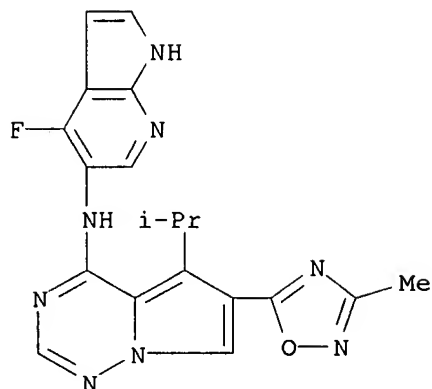
Absolute stereochemistry.



● 2 HCl

RN 651744-55-7 HCAPLUS

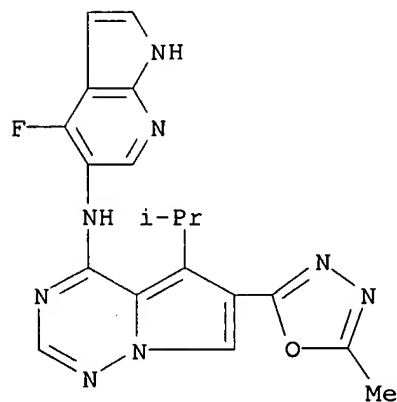
CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-(1-methylethyl)-6-(3-methyl-1,2,4-oxadiazol-5-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 651744-56-8 HCAPLUS

CN Pyrrolo[2,1-f][1,2,4]triazin-4-amine, N-(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)-5-(1-methylethyl)-6-(5-methyl-1,3,4-oxadiazol-2-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 348640-06-2P 397842-89-6P 640735-23-5P

640735-24-6P 640735-25-7P 651744-21-7P

651744-22-8P 651744-26-2P 651744-29-5P

651744-32-0P 651744-35-3P 651744-36-4P

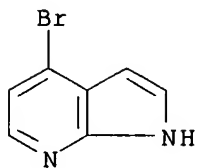
651744-37-5P 651744-41-1P 651744-42-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolotriazines as selective VEGFR-2 and FGFR-1 kinase inhibitors for treatment of proliferative diseases)

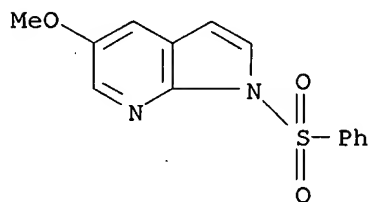
RN 348640-06-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo- (CA INDEX NAME)



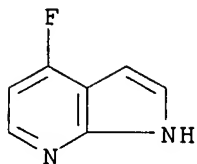
RN 397842-89-6 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



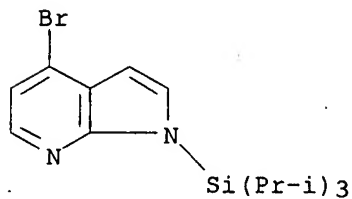
RN 640735-23-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-fluoro- (9CI) (CA INDEX NAME)



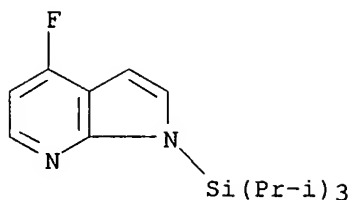
RN 640735-24-6 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-1-[tris(1-methylethyl)silyl]- (CA INDEX NAME)

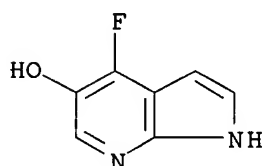


RN 640735-25-7 HCAPLUS

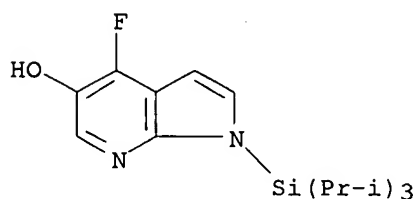
CN 1H-Pyrrolo[2,3-b]pyridine, 4-fluoro-1-[tris(1-methylethyl)silyl]- (9CI) (CA INDEX NAME)



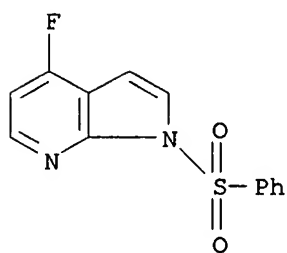
RN 651744-21-7 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-5-ol, 4-fluoro- (9CI) (CA INDEX NAME)



RN 651744-22-8 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-5-ol, 4-fluoro-1-[tris(1-methylethyl)silyl]-  
 (9CI) (CA INDEX NAME)



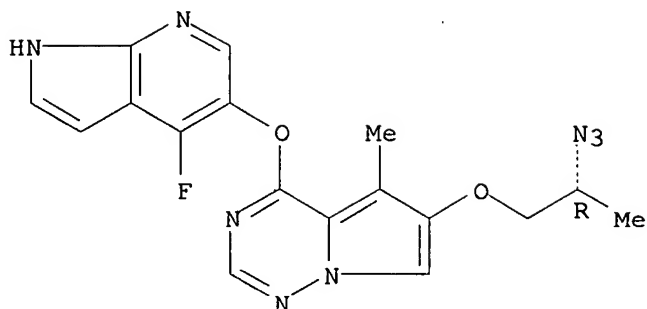
RN 651744-26-2 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-fluoro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 651744-29-5 HCAPLUS  
 CN Pyrrolo[2,1-f][1,2,4]triazine, 6-[(2R)-2-azidopropoxy]-4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methyl- (9CI) (CA INDEX NAME)

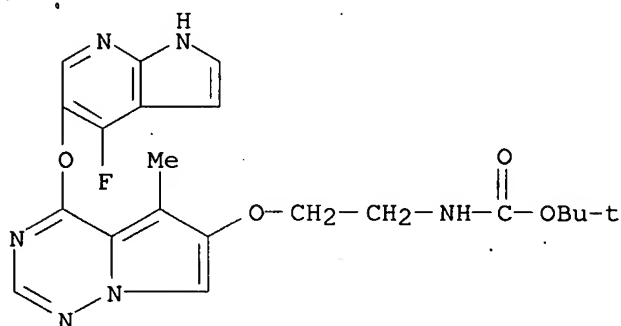
Absolute stereochemistry.





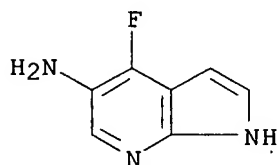
RN 651744-32-0 HCAPLUS

CN Carbamic acid, [2-[[4-[(4-fluoro-1H-pyrrolo[2,3-b]pyridin-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



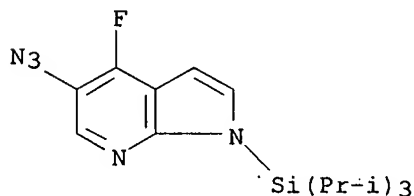
RN 651744-35-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-fluoro- (9CI) (CA INDEX NAME)



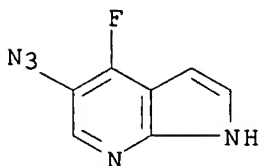
RN 651744-36-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-amino-4-fluoro-1-[tris(1-methylethyl)silyl]- (9CI) (CA INDEX NAME)

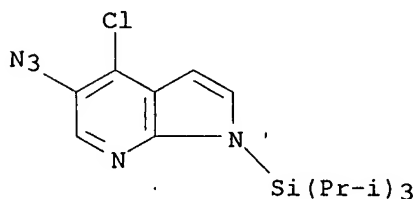


RN 651744-37-5 HCAPLUS

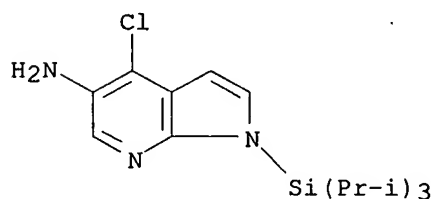
CN 1H-Pyrrolo[2,3-b]pyridine, 5-amino-4-fluoro- (9CI) (CA INDEX NAME)



RN 651744-41-1 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-azido-4-chloro-1-[tris(1-methylethyl)silyl]-  
 (9CI) (CA INDEX NAME)



RN 651744-42-2 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 4-chloro-1-[tris(1-methylethyl)silyl]-  
 (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:796491 HCAPLUS

DOCUMENT NUMBER: 139:307795

TITLE: Process for the preparation of antiviral 7-azaindole  
 derivatives

INVENTOR(S): Benoit, Serge; Gingras, Stephane; Soundararajan,  
 Nachimuthu

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082289	A1	20031009	WO 2003-US9055	20030325
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

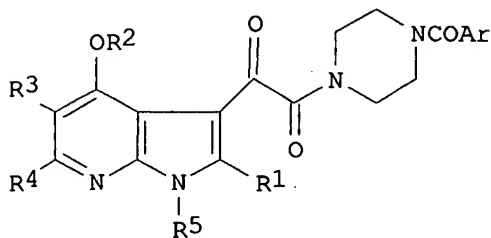
US 2004044025 A1 20040304 US 2003-395320 20030324  
 US 6884889 B2 20050426  
 AU 2003224760 A1 20031013 AU 2003-224760 20030325  
 EP 1487450 A1 20041222 EP 2003-721447 20030325

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

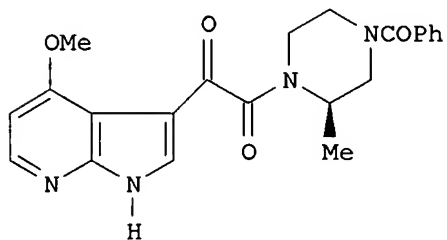
US 2005059695 A1 20050317 US 2004-948405 20040923  
 US 7105677 B2 20060912

PRIORITY APPLN. INFO.: US 2002-367401P P 20020325  
 US 2003-395320 A3 20030324  
 WO 2003-US9055 W 20030325

OTHER SOURCE(S): CASREACT 139:307795; MARPAT 139:307795  
 GI



I



II

AB A process for the manufacture of azaindoles I [R1, R3, R4 = H, alkyl, alkenyl, cycloalkenyl, alkynyl, halogen, CN, Ph, acyl, (un)substituted CONH2, OH, SH, NH2; R2 = Me, Et, CH2CF3, Pr; R5 = H, alkyl, cycloalkyl, cyclolakenyl, CH2Ph, alkenyl, alkynyl, (un)substituted CONH2; Ar = (un)substituted Ph, pyridyl, furyl, thienyl; the piperazine ring may be further substituted] is described. The products are useful as therapeutic agents for the treatment of HIV and AIDS. Thus, 1H-pyrrolo[2,3-b]pyridine was oxidized with 3-ClC6H4CO2OH to its 7-oxide which was chlorinated with MeSO2Cl in MeCN to give 4-chloro-1H-pyrrolo[2,3-b]pyridine. This compound was converted to the 4-methoxy derivative by treatment with KOMe in PhMe and treated with ClCOCO2Me in presence of AlCl3 to give Me (4-methoxy-7-azaindol-3-yl)oxoacetate which was hydrolyzed to the acid and

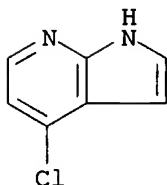
amidated with vilsmeier reagent and (R)-3-methyl-1-benzoylpiperazine to give the azaindole II.

IT 55052-28-3P 122379-63-9P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the preparation of antiviral 7-azaindole derivs.)

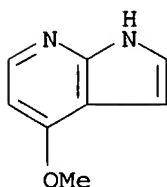
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



RN 122379-63-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:610442 HCAPLUS

DOCUMENT NUMBER: 139:164806

TITLE: Preparation of quinazolines as VEGF receptor inhibitors

INVENTOR(S): Hennequin, Laurent Francois Andre

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

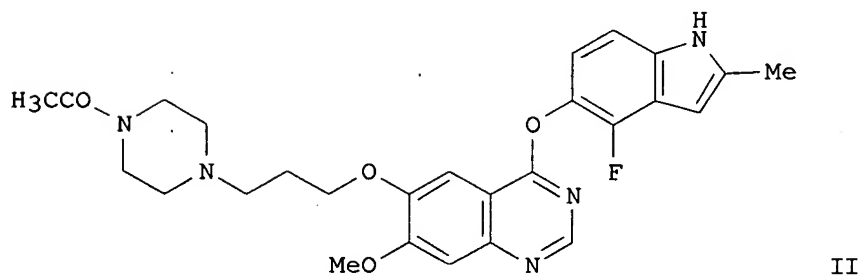
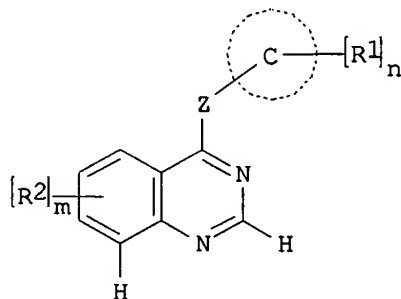
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064413	A1	20030807	WO 2003-GB343	20030128
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,</p>				

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2473572	A1	20030807	CA 2003-2473572	20030128
EP 1474420	A1	20041110	EP 2003-700951	20030128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007151	A	20041207	BR 2003-7151	20030128
US 2005085465	A1	20050421	US 2003-502538	20030128
HU 200402588	A2	20050530	HU 2004-2588	20030128
CN 1625555	A	20050608	CN 2003-803124	20030128
JP 2005522428	T	20050728	JP 2003-564036	20030128
IN 2004DN02016	A	20050401	IN 2004-DN2016	20040714
NO 2004003162	A	20040722	NO 2004-3162	20040722
ZA 2004005908	A	20050926	ZA 2004-5908	20040723
PRIORITY APPLN. INFO.:			EP 2002-290242	A 20020201
			WO 2003-GB343	W 20030128
OTHER SOURCE(S):			CASREACT 139:164806; MARPAT 139:164806	
GI				

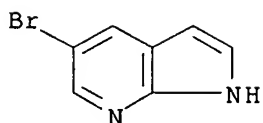


AB The title compds. [I; ring C = indolyl, indazolyl or azaindolyl; Z = O, NH, S; n = 0-5; m = 0-3; R2 = H, OH, halo, etc.; R1 = H, halo, oxo, OH, etc.], useful in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepared and formulated. E.g., a multi-step synthesis of II, was given. The compds. I inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no biol. data).

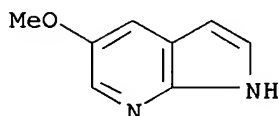
IT 183208-35-7P, 5-Bromo-7-azaindole 183208-36-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of quinazolines as VEGF inhibitors)

RN 183208-35-7 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RN 183208-36-8 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:5957 HCAPLUS

DOCUMENT NUMBER: 138:55984

TITLE: Preparation of azaindoles as protein kinase inhibitors

INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets, Stephanie Daniele; Edlin, Chris; Gardner, Charles J.; Kominos, Dorothea; Pedgrift, Brian Leslie; Halley, Frank; Gillespy, Timothy Alan; Edwards, Michael; Clerc, Francois Frederic; Nemecek, Conception; Houille, Olivier; Damour, Dominique; Bouchard, Herve; Bezard, Daniel; Carrez, Chantal

PATENT ASSIGNEE(S): Aventis Pharma Limited, UK

SOURCE: PCT Int. Appl., 373 pp. \

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

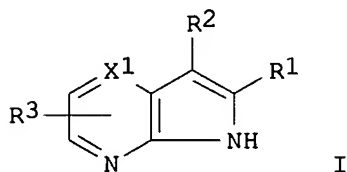
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000688	A1	20030103	WO 2002-GB2799	20020620
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2451678	A1	20030103	CA 2002-2451678	20020620
EP 1397360	A1	20040317	EP 2002-730531	20020620
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	
EE 200400015	A	20040415	EE 2004-15	20020620
BR 2002010507	A	20040615	BR 2002-10507	20020620
SI 21462	A	20041031	SI 2002-20015	20020620
JP 2004534826	T	20041118	JP 2003-507091	20020620

HU 200400247	A2	20050128	HU 2004-247	20020620
CN 1665809	A	20050907	CN 2002-812476	20020620
NZ 529205	A	20060428	NZ 2002-529205	20020620
US 2004053931	A1	20040318	US 2002-177804	20020621
US 6897207	B2	20050524		
ZA 2003009648	A	20050311	ZA 2003-9648	20031211
BG 108481	A	20050531	BG 2003-108481	20031219
US 2005267304	A1	20051201	US 2004-995103	20041123
PRIORITY APPLN. INFO.:			GB 2001-15109	A 20010621
			US 2001-300257P	P 20010622
			WO 2002-GB2799	W 20020620
			US 2002-177804	A1 20020621

OTHER SOURCE(S):                    MARPAT 138:55984  
GI



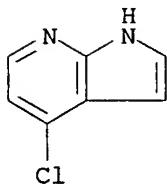
AB The invention is directed to physiol. active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine) and compns. containing such compds.; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. Such compds. and compns. have valuable pharmaceutical properties, in particular the ability to inhibit kinases, especially Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of preparation are not claimed, >100 example preps. of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by  $\geq 1$  groups = alkylendioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(O)R, -C(O)OR5, -C(O)NY1Y2, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, lower alkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -NY3Y4, -N(R6)C(O)R, -N(R6)C(O)NY1Y2, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and  $\geq 1$  halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and  $\geq 1$  hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl; alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and  $\geq 1$  hydroxy, alkoxy and carboxy. X1 = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R4, CNY1Y2, COH, CZ2R, CC(O)R, CC(O)OR5, CC(O)NY1Y2, CN(R8)C(O)R, CN(R6)C(O)OR7, CN(R6)C(O)NY3Y4,

CN(R6)SO2NY3Y4, CN(R6)SO2R, CSO2NY3Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by  $\geq 1$  aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NNY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by  $\geq 1$  aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(O)NY3Y4, -C(O)OR5, NY3Y4, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 = O or S; Z2 = O or S(O)n; Z3 = O, S(O)n, NR6; n = 0-2.

IT 55052-28-3P, 4-Chloro-1H-pyrrolo[2,3-b]pyridine  
 122379-63-9P, 4-Methoxy-1H-pyrrolo[2,3-b]pyridine  
 348640-05-1P, 4-Chloro-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine 348640-52-8P, 4-Methoxy-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine 479552-71-1P, 5-Bromo-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of azaindoles as protein kinase inhibitors with therapeutic uses)

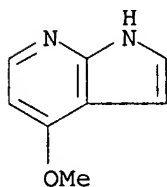
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



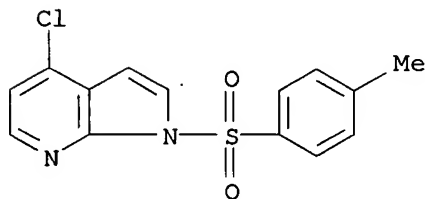
RN 122379-63-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-methoxy- (CA INDEX NAME)



RN 348640-05-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-1-[(4-methylphenyl)sulfonyl]- (9CI)  
 (CA INDEX NAME)

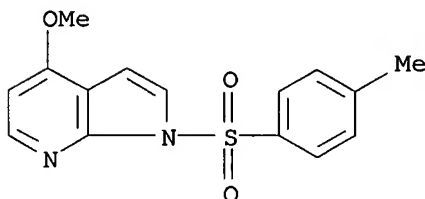




10/ 502,538

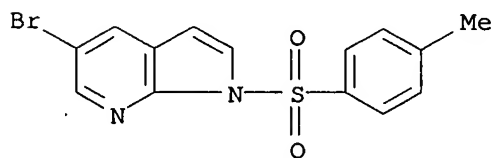
RN 348640-52-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-methoxy-1-[(4-methylphenyl)sulfonyl]- (9CI)  
(CA INDEX NAME)



RN 479552-71-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-[(4-methylphenyl)sulfonyl]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:489395 HCAPLUS

DOCUMENT NUMBER: 135:92651

TITLE: Preparation of azaindoles as protein kinase inhibitors

INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine  
Yeun Quai; Morley, Andrew David; Amendola, Shelley;  
Deprets, Stephanie; Edlin, Chris

PATENT ASSIGNEE(S): Aventis Pharma Ltd., UK

SOURCE: PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

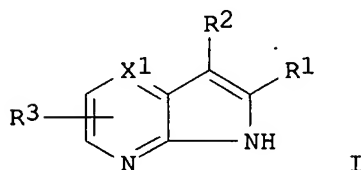
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047922	A2	20010705	WO 2000-GB4993	20001227
WO 2001047922	A3	20020117		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2395593	A1	20010705	CA 2000-2395593	20001227
EP 1263759	A2	20021211	EP 2000-985695	20001227
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2000017038	A	20030107	BR 2000-17038		20001227
HU 200203895	A2	20030228	HU 2002-3895		20001227
EE 200200343	A	20030616	EE 2002-343		20001227
JP 2003519144	T	20030617	JP 2001-549392		20001227
NZ 519121	A	20040528	NZ 2000-519121		20001227
AU 777717	B2	20041028	AU 2001-22094		20001227
CN 1615873	A	20050518	CN 2004-10078969		20001227
ZA 2002004126	A	20030825	ZA 2002-4126		20020523
BG 106836	A	20030430	BG 2002-106836		20020618
NO 2002003032	A	20020621	NO 2002-3032		20020621
US 2004009983	A1	20040115	US 2002-178667		20020624
US 6770643	B2	20040803			
US 2004198737	A1	20041007	US 2004-827978		20040420
NO 2006006017	A	20020621	NO 2006-6017		20061227
PRIORITY APPLN. INFO.:			GB 1999-30698	A	19991224
			US 2000-215818P	P	20000705
			WO 2000-GB4993	W	20001227
			US 2002-178667	A3	20020624
OTHER SOURCE(S):			MARPAT 135:92651		
GI					



AB The invention is directed to compns. containing physiol. active compds. of general formula [I; wherein R1 is (un)substituted aryl or heteroaryl; R2 represents hydrogen, acyl, cyano, halo, lower alkenyl or lower alkyl optionally substituted by a substituent selected from cyano, heteroaryl, heterocycloalkyl, -Z1R8, -CONY3Y4, -CO2R8, -NY3Y4, -N(R6)COR7, -N(R6)CONY3Y4, -N(R6)CO2R7, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and one or more halogen atoms; R3 represents hydrogen, aryl, cyano, halo, heteroaryl, lower alkyl, -CO2R5 or -CONY3Y4; and X1 represents N, CH, C-halo, C-CN, C-R7, C-NY3Y4, C-OH, C-Z2R7, C-CO2R5, C-CONY3Y4, C-N(R8)COR7, C-SO2NY3Y4, C-N(R8)SO2R7, C-alkenyl, C-alkynyl or C-NO2; wherein R5 represents hydrogen, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl; R6 represents hydrogen or lower alkyl; R7 represents alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 represents hydrogen or lower alkyl; represents; Y3 and Y4 are independently hydrogen, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 represents O or S; Z2 represents O or S(O)n; n is zero or an integer 1 or 2] and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. These compds. have valuable pharmaceutical properties, in particular the ability to inhibit protein kinases, especially Syk kinase, and are useful for the treatment of asthma, psoriasis, joint inflammation, and inflammatory bowel disease. Thus, a stirred solution of diisopropylamine (59.9 mL) in THF (1,400 mL), at -15 °C and under nitrogen, was treated with a solution of n-butyllithium in hexanes (131 mL, 1.6 M) over 25 min at <-10°. After stirring for 30 min the mixture was treated with methylpyrazine (26.8 g) over 15 min, then stirred for 1 h and then treated with a solution of 5-methoxy-1-methyl-1H-indole-3-carbonitrile (53 g) in THF (600 mL) over 1 h at <-10°, and the reaction mixture was allowed to

warm to room temperature over 2 h and then stood overnight to give, after workup

and flash chromatog., 6-(5-Methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine (19.4 g) as a gray solid. I showed IC50 of 10-100 nM against Syk kinase.

IT 55052-28-3P 348640-05-1P 348640-07-3P

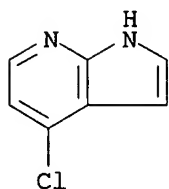
348640-52-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of azaindoles as protein kinase inhibitors)

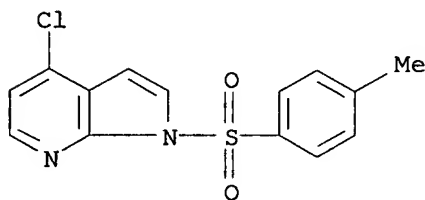
RN 55052-28-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



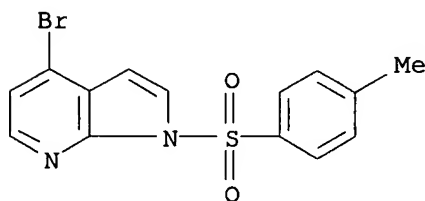
RN 348640-05-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-1-[(4-methylphenyl)sulfonyl]- (9CI)  
(CA INDEX NAME)



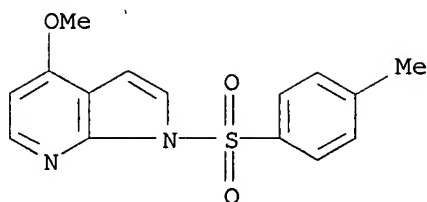
RN 348640-07-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-bromo-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 348640-52-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-methoxy-1-[(4-methylphenyl)sulfonyl]- (9CI)  
(CA INDEX NAME)



L8 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:279453 HCAPLUS

DOCUMENT NUMBER: 134:295809

TITLE: Preparation of polycyclic azaindole derivatives and their affinity for melatonin receptors

INVENTOR(S): Guillaumet, Gerald; Viaud, Marie-Claude; Van De Poel, Herve; Delagrangue, Philippe; Bennejean, Caroline; Renard, Pierre

PATENT ASSIGNEE(S): Adir Et Compagnie, Fr.

SOURCE: Eur. Pat. Appl., 41 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1092717	A2	20010418	EP 2000-402832	20001013
EP 1092717	A3	20011004		
EP 1092717	B1	20030108		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2799757	A1	20010420	FR 1999-12900	19991015
FR 2799757	B1	20011214		
US 6495543	B1	20021217	US 2000-689578	20001012
CA 2323720	A1	20010415	CA 2000-2323720	20001013
CA 2323720	C	20050215		
JP 2001114781	A	20010424	JP 2000-314109	20001013
JP 3519357	B2	20040412		
CN 1293195	A	20010502	CN 2000-130473	20001013
ZA 2000005667	A	20010515	ZA 2000-5667	20001013
BR 2000004823	A	20010522	BR 2000-4823	20001013
HU 200004007	A2	20010528	HU 2000-4007	20001013
AT 230745	T	20030115	AT 2000-402832	20001013
PT 1092717	T	20030430	PT 2000-402832	20001013
ES 2189730	T3	20030716	ES 2000-402832	20001013
AU 772126	B2	20040408	AU 2000-66502	20001013
NO 2000005200	A	20010417	NO 2000-5200	20001016
NO 317884	B1	20041227		
HK 1036061	A1	20041119	HK 2001-106736	20010925
US 2003105087	A1	20030605	US 2002-267303	20021009
US 2003134847	A1	20030717	US 2002-267238	20021009
US 6667304	B2	20031223		

PRIORITY APPLN. INFO.:

FR 1999-12900

A 19991015

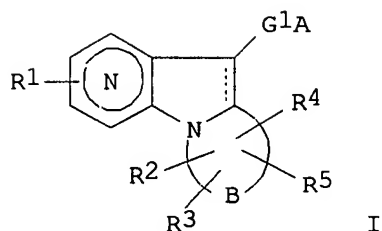
US 2000-689578

A3 20001012

OTHER SOURCE(S):

MARPAT 134:295809

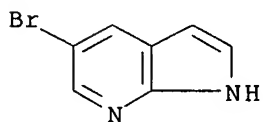
GI



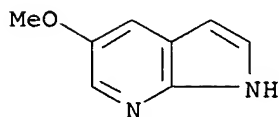
AB The title compds. I [the N atom in the ring may be in any position in the ring; R1 = NRCR'(Z), halo, R, S(O)nR, etc.; A = C(Z)NRR', NRC(Z)R', etc.; B forms with an atom of N and an atom of C a ring; R2, R3 = H, alkyl, alkoxy, OH, R2R3 = oxo; R4, R5 = H, or form with two adjacent atoms in ring B an aryl or heteroaryl group; Gl = alkylene] were prepared The affinity of I for melatonin receptors was determined E.g., [2-(2-methoxy-6H-pyrido[2',3':4,5]pyrrolo[2,1-a]isoindol-11-yl)ethyl]acetamide was prepared

IT 183208-35-7P 183208-36-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of polycyclic azaindole derivs. and their affinity for melatonin receptors)

RN 183208-35-7 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



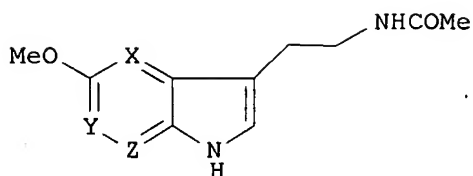
RN 183208-36-8 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy- (CA INDEX NAME)



L8 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1999:241415 HCAPLUS  
 DOCUMENT NUMBER: 131:44684  
 TITLE: Synthesis of new melatoninerigic ligands including azaindole moiety  
 AUTHOR(S): Mazeas, Daniel; Guillaumet, Gerald; Viaud, Marie-Claude  
 CORPORATE SOURCE: Institut de Chimie Organique et Analytique, associe au CNRS, Universite d'Orleans, Orleans, 45067, Fr.  
 SOURCE: Heterocycles (1999), 50(2), 1065-1080  
 CODEN: HTCYAM; ISSN: 0385-5414  
 PUBLISHER: Japan Institute of Heterocyclic Chemistry  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

10/ 502,538

OTHER SOURCE(S): CASREACT 131:44684  
GI

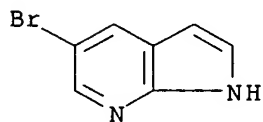


AB A novel series of melatonin analogs I (Z = N, X = Y = CH; Y = N, X = Z = CH; X = N, Z = Y = CH) based on the azaindole nucleus is described. These compds. are prepared in several steps directly from the com. available 7-azaindole or from substituted amino-, iodo- or/and nitropyridines using a catalyzed palladium reaction or vicarious nucleophilic substitution of hydrogen (VNS) in order to elaborate the 6-, 5- and 4-azaindole derivs. resp.

IT 183208-35-7P 183208-36-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis of new melatoninerigic ligands including azaindole moiety)

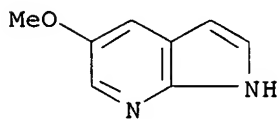
RN 183208-35-7 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)



RN 183208-36-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:685279 HCAPLUS

DOCUMENT NUMBER: 125:328699

TITLE: Preparation of N-(pyrrolopyridylalkyl)alkanamides and analogs as melatonin receptor ligands

INVENTOR(S): Viaud, Marie-Claude; Guillaumet, Gerald; Mazeas, Daniel; Vandepoel, Herve; Renard, Pierre; Pfeiffer, Bruno; Delagrangre, Philippe

PATENT ASSIGNEE(S): Adir Et Compagnie, Fr.

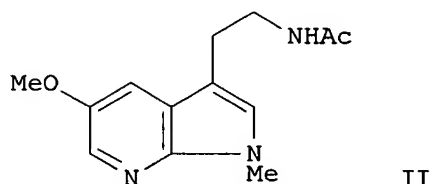
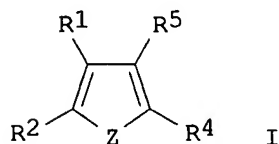
SOURCE: Eur. Pat. Appl., 61 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 737685	A1	19961016	EP 1996-400778	19960411
EP 737685	B1	20000719		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2732969	A1	19961018	FR 1995-4504	19950414
FR 2732969	B1	19970516		
AT 194839	T	20000815	AT 1996-400778	19960411
PT 737685	T	20001031	PT 1996-400778	19960411
ES 2150642	T3	20001201	ES 1996-400778	19960411
CA 2174033	A1	19961015	CA 1996-2174033	19960412
CA 2174033	C	20010724		
NO 9601457	A	19961015	NO 1996-1457	19960412
ZA 9602934	A	19961017	ZA 1996-2934	19960412
AU 9650629	A	19961024	AU 1996-50629	19960412
AU 700071	B2	19981217		
CN 1139111	A	19970101	CN 1996-104624	19960412
CN 1058967	B	20001129		
US 5714495	A	19980203	US 1996-631234	19960412
JP 08291172	A	19961105	JP 1996-92428	19960415
JP 3723274	B2	20051207		
GR 3034620	T3	20010131	GR 2000-402305	20001013
PRIORITY APPLN. INFO.:			FR 1995-4504	A 19950414
OTHER SOURCE(S):		CASREACT 125:328699; MARPAT 125:328699		
GI				

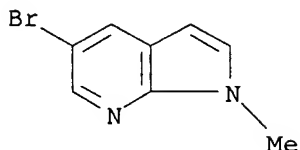


AB Title compds. [I; R1R2 = (un)substituted CH:CHCH:N, -CH:CHN:CH, -CH:NCH:CH, -N:CHCH:CH; R4 = H, halo, OH, alkoxyalkyl, etc.; R5 = Z1Z2R; R = H, (cyclo)alkyl, alkenyl, etc.; Z = O, S, (alkyl)imino, etc.; Z1 = alkylene; Z2 = NR6C(:X), NR6C(:X)NH, C(:X)NR6; R6 = H, alkyl, aryl(alkyl), etc.; X = O or S] were prepared as melatonin receptor ligands (no data). Thus, pyrrolo[2,3-b]pyridine was converted in 11 steps to title compound II.

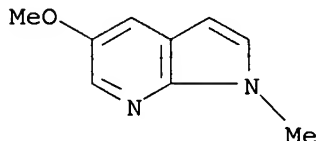
IT 183208-22-2P 183208-23-3P 183208-35-7P  
 183208-36-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of N-(pyrrolopyridylalkyl)alkanamides and analogs as melatonin receptor ligands)

RN 183208-22-2 HCAPLUS

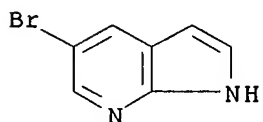
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-1-methyl- (9CI) (CA INDEX NAME)



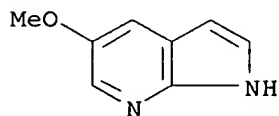
RN 183208-23-3 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 183208-35-7 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo- (CA INDEX NAME)

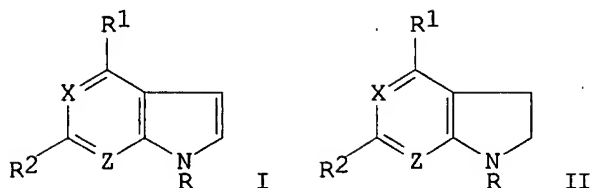


RN 183208-36-8 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy- (CA INDEX NAME)



L8 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1979:405132 HCAPLUS  
DOCUMENT NUMBER: 91:5132  
TITLE: Azaindole derivatives. 57. Dehydrogenation of substituted 5- and 7-azaindolines activated by manganese dioxide  
AUTHOR(S): Azimov, V. A.; Krasnokutskaya, D. M.; Palant, I. N.; Yakhontov, L. N.  
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR  
SOURCE: Khimiya Geterotsiklicheskih Soedinenii (1979), (3), 375-8  
CODEN: KGSSAQ; ISSN: 0453-8234  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
OTHER SOURCE(S): CASREACT 91:5132  
GI





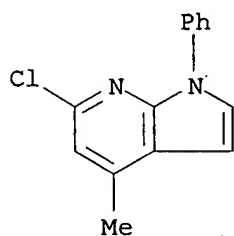
AB Azaindoles I (X = CH, N; Z = N, CH, CCN; R = Ph, H, Ac, PhCH<sub>2</sub>; R<sub>1</sub> = Me, H, R<sub>2</sub> = Cl, OH, H, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>O) were prepared by dehydrogenation of the corresponding II with activated MnO<sub>2</sub>. Oxidation-reduction potentials were determined

IT 5912-17-4P 70357-62-9P 70357-63-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

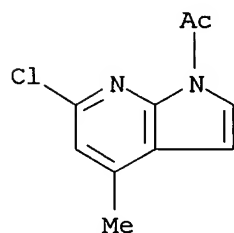
RN 5912-17-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-methyl-1-phenyl- (7CI, 8CI, 9CI)  
(CA INDEX NAME)



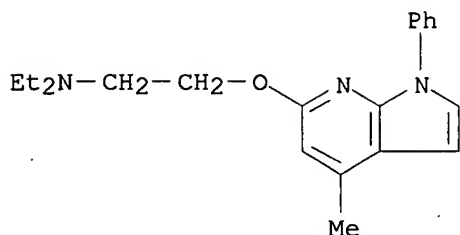
RN 70357-62-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-acetyl-6-chloro-4-methyl- (9CI) (CA INDEX NAME)

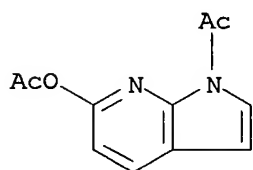


RN 70357-63-0 HCAPLUS

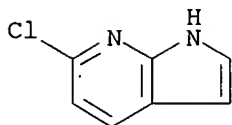
CN Ethanamine, N,N-diethyl-2-[(4-methyl-1-phenyl-1H-pyrrolo[2,3-b]pyridin-6-yl)oxy]- (9CI) (CA INDEX NAME)



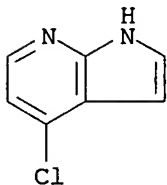
L8 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1975:72820 HCAPLUS  
 DOCUMENT NUMBER: 82:72820  
 TITLE: Diazaindenes (azaindoles). VI. Preparation and properties of 1,7-diazaindene 7-oxide and 6,7,8,9-tetrahydro- $\gamma$ -carboline 2-oxide  
 AUTHOR(S): Clark, Bernard A. J.; Parrick, John  
 CORPORATE SOURCE: Sch. Chem., Brunel Univ., Uxbridge, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1974), (19), 2270-4  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB Oxidation of N-acetyl-1,7-diazaindene and -6,7,8,9,-tetrahydro- $\gamma$ -carboline gave oxides I and II, resp., which with Ac<sub>2</sub>O gave ketones III and IV, resp., after hydrolysis. I and II with POCl<sub>3</sub> followed by alkaline hydrolysis gave chloro compds. V and VI, resp. II with AgCN-BzCl and PhNCO in DMF gave carbonitrile VII and carboline VIII, resp.  
 IT 55052-25-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrolysis of)  
 RN 55052-25-0 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-ol, 1-acetyl-, acetate (ester) (9CI) (CA INDEX NAME)



IT 55052-27-2P 55052-28-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 55052-27-2 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro- (9CI) (CA INDEX NAME)



RN 55052-28-3 HCAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro- (CA INDEX NAME)



L8 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1964:496273 HCAPLUS

DOCUMENT NUMBER: 61:96273

ORIGINAL REFERENCE NO.: 61:5621g-h

TITLE: Derivatives of 7-azaindole. VII. Dehydrogenation of indole derivatives and 7-azaindoline derivatives with sodium in liquid ammonia

AUTHOR(S): Yakhontov, L. N.; Uritskaya, M. Ya.; Rubtsov, M. V.

SOURCE: Zh. Obshch. Khim. (1964), 34(5), 1456-8

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

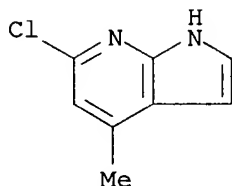
AB 6-Chloro-4-methyl-7-azaindoline stirred 2 h. with Na in liquid NH<sub>3</sub>-MePh, then refluxed 8 h. after evaporation of NH<sub>3</sub> gave, after treatment with MeOH and aqueous K<sub>2</sub>CO<sub>3</sub>, 76.5% 4-methyl-7-azaindole, m. 125-6°. 6-Methoxyindole was hydrogenated over Raney Ni at 80° and 80 atmospheric to 72.5% 6-methoxyindoline, b<sub>14</sub> 135-7°, n<sub>D</sub><sup>20</sup> 1.5860. This and Na-NH<sub>3</sub>, as above gave 78.3% 6-methoxyindole. Similarly, the corresponding indolines were reduced to 4-methyl-7-azaindole (I) and 4-methyl-6-methoxy-7-azaindole; I was also formed by similar treatment of 1-benzyl-4-methyl-7azaindoline or 1-acetyl-4-methyl-7-azaindoline. The reaction failed with 1-acetyl- and 1-butyl-4-methyl-7-azaindolines. Indoline gave indole, while 1-acetylindole also gave indole.

IT 4894-29-5P, 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-methyl-16462-91-2P, 1H-Pyrrolo[2,3-b]pyridine, 6-methoxy-4-methyl-90321-93-0P, 1H-Pyrrolo[2,3-b]pyridine, 6-iodo-4-methyl-

RL: PREP (Preparation)  
 (preparation of)

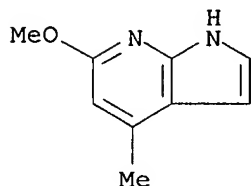
RN 4894-29-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



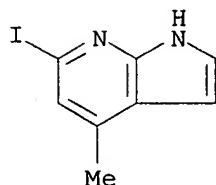
RN 16462-91-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-methoxy-4-methyl- (7CI, 8CI) (CA INDEX NAME)



RN 90321-93-0 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-iodo-4-methyl- (7CI) (CA INDEX NAME)



L8 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1964:432360 HCAPLUS

DOCUMENT NUMBER: 61:32360

ORIGINAL REFERENCE NO.: 61:5621d-g

TITLE: Derivatives of 7-azaindole. VI. Synthesis of 4-methyl-7azaindole and its 6-chloro, 6-iodo, and 6-methoxy derivatives

AUTHOR(S): Yakhontov, L. N.; Uritskaya, M. Ya.; Rubtsov, M. V.

SOURCE: Zhurnal Obshchei Khimii (1964), 34(5), 1449-55

CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

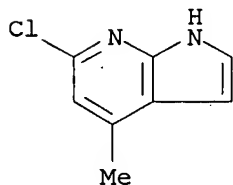
LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 61:32360

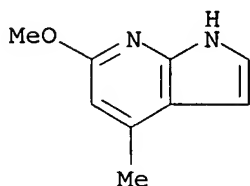
AB cf. CA 61, 3066c. 2,6-Dichloro-3-(2-chloroethyl)-4-methylpyridine heated with alc. NH<sub>3</sub> 12 h. at 180° gave 53% 6-chloro-4-methyl-7-azaindoline (Ia), b<sub>0.4</sub> 125-7°, m. 130.5-1.5°; HCl salt decomposed 213.5-15°. Hydrogenation over Pd in aqueous EtOH gave 100% 4-methyl-7azaindoline (I), m. 90-1° (HCl salt decomposed 292°), which with Ac<sub>2</sub>O gave 98% 1-Ac derivative, m. 108-9°, sublimed at 90°/0.4 mm. I and Na in liquid NH<sub>3</sub>-MePh 1 h., then treated with PhCH<sub>2</sub>Cl 3 h. at reflux gave after acidification 76.6% 1-benzyl- 4-methyl-7-azaindoline, b<sub>2</sub> 172°, n<sub>20</sub> 1.6040; HCl salt m. 193.594°. Similarly, BuBr gave 64% 1-butyl-4-methyl-7-azaindoline, b<sub>1.5</sub> 91°, 1.5346; HCl salt m. 158-9°; picrate m.

162-3.5°. I and PhCH:CHCO<sub>2</sub>H refluxed in xylene in the presence of Pd black 5 h. under N gave a little 1-cinnamoyl-4-methyl-7-azaindoline, m. 151.5-52°, and 64.6% unchanged I. Ia refluxed With chloranil in xylene 1.5 h. gave after treatment with 50% KOH 52% 6-chloro-4-methyl-7-azaindole (II), m. 167°, after sublimation at 113-15°/0.4 mm. Hydrogenation over Pd in EtOH gave 4-methyl-7-azaindoline. Ia and MeOK in MeOH after 6 h. at 190° in a sealed tube gave 77% 4-methyl-6-methoxy-7-azaindoline, m. 136°, after sublimation at 85°/0.8 mm. This and chloranil in boiling xylene 1.5 h. gave 58% 4-methyl-6-methoxy-7-azaindole, m. 131°, after sublimation at 70°/0.6 mm.; the same product was formed from II by similar reaction. II and Li in liquid NH<sub>3</sub> 2 h. gave, after removal of residual with steam, 69%, 4-methyl-7-azaindole (III), m. 127.5-28° after sublimation at 100-5°/3 mm. II heated with 48% HI 3.5 h. at 180° in a sealed tube gave 34% yellow 4-methyl-6-iodo-7-azaindole, m. 153° after sublimation at 100°/0.7 mm.

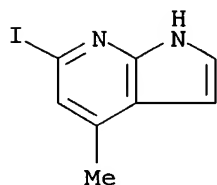
IT 4894-29-5P, 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-methyl-  
16462-91-2P, 1H-Pyrrolo[2,3-b]pyridine, 6-methoxy-4-methyl-  
90321-93-0P, 1H-Pyrrolo[2,3-b]pyridine, 6-iodo-4-methyl-  
RL: PREP (Preparation)  
(preparation of)  
RN 4894-29-5 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-4-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 16462-91-2 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 6-methoxy-4-methyl- (7CI, 8CI) (CA INDEX NAME)



RN 90321-93-0 HCAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 6-iodo-4-methyl- (7CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 14:05:42 ON 05 APR 2007)

FILE 'REGISTRY' ENTERED AT 14:05:58 ON 05 APR 2007

L1	STRUCTURE UPLOADED
L2	328 S L1 FUL
L3	STRUCTURE UPLOADED
L4	59 S L3 FUL

FILE 'HCAPLUS' ENTERED AT 14:07:22 ON 05 APR 2007

L5	94 S L2/P
L6	24 S L5 AND (OXID?)/AB,BI
L7	32 S L4/P
L8	18 S L7 AND L5

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